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NEWS	3	NOV	26	MARPAT enhanced with FSORT command
NEWS	4	NOV		CHEMSAFE now available on STN Easy
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NEWS	7	DEC		GBFULL now offers single source for full-text
				coverage of complete UK patent families
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				Classification Data
NEWS	11	FEB	02	Simultaneous left and right truncation (SLART) added
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NEWS		FEB		GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS		FEB		Patent sequence location (PSL) data added to USGENE
NEWS				COMPENDEX reloaded and enhanced
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NEWS	Τ6	FEB	19	New patent-examiner citations in 300,000 CA/CAplus
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				and 2009 MeSH terms
NEWS	20	FEB	23	TOXCENTER updates mirror those of MEDLINE - more
				precise author group fields and 2009 MeSH terms
NEWS	21	FEB	23	Three million new patent records blast AEROSPACE into
			0.5	STN patent clusters
NEWS	22	FEB	25	USGENE enhanced with patent family and legal status
NEWS	22	MAR	06	display data from INPADOCDB INPADOCDB and INPAFAMDB enhanced with new display
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NEWS	24	MAR	11	TOTIMETS EPFULL backfile enhanced with additional full-text applications and grants

NEWS 25 MAR 11 ESBIOBASE reloaded and enhanced

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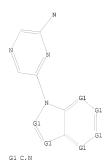
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ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
ring/chain nodes :
18
chain bonds : 9-10 14-18
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15
exact/norm bonds :
2-7 3-9 7-8 8-9 9-10
exact bonds :
14-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :
G1:C,N
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:Atom
L1 STRUCTURE UPLOADED
=> d
L1 HAS NO ANSWERS
L1
              STR
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SAMPLE SEARCH INITIATED 14:05:29 FILE 'REGISTRY'

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100.0% PROCESSED 183 ITERATIONS 19 ANSWERS SEARCH TIME: 00.00.01

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BATCH **COMPLETE**
PROJECTED ITERATIONS: 2849 TO 4471

PROJECTED ITERATIONS: 2849 TO 4471
PROJECTED ANSWERS: 119 TO 641

L2 19 SEA SSS SAM L1

=> s 11 ful FULL SEARCH INITIATED 14:05:38 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 3270 TO ITERATE

100.0% PROCESSED 3270 ITERATIONS 405 ANSWERS SEARCH TIME: 00.00.01

L3 405 SEA SSS FUL L1

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 COST IN U.S. DOLLARS
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FILE 'CAPLUS' ENTERED AT 14:05:41 ON 21 MAR 2009

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=> s 13 L4 11 L3

=> d 14 ibib hitstr abs 1-11

10581412

L4 ANSWER 1 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1190716 CAPLUS

DOCUMENT NUMBER: 149:524574

TITLE: Structure-activity relationships of pyrazine-based CK2

inhibitors: synthesis and evaluation of

2,6-disubstituted pyrazines and 4,6-disubstituted

pyrimidines

AUTHOR(S): Suzuki, Yamato; Cluzeau, Jerome; Hara, Takafumi; Hirasawa, Akira; Tsujimoto, Gozoh; Oishi, Shinya;

Ohno, Hiroaki; Fujii, Nobutaka

CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, Kyoto

University, Kyoto, Japan

SOURCE:

Archiv der Pharmazie (Weinheim, Germany) (2008),

341(9), 554-561 CODEN: ARPMAS; ISSN: 0365-6233

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal LANGUAGE: English

875900-14-4P 1078718-42-9P 1078718-43-0P 1078718-44-1P 1078718-45-2P 1078718-46-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)

(Structure-activity relationships of pyrazine-based CK2 inhibitors: synthesis and evaluation of 2,6-disubstituted pyrazines and

4,6-disubstituted pyrimidines)

RN 875900-14-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-indol-1-vl)-2-pyrazinvl]- (CA INDEX NAME)

1078718-42-9 CAPLUS RN

4-Piperidinecarboxvlic acid, 1-[6-(1H-indol-1-vl)-2-pyrazinvl]- (CA INDEX CN NAME)

RN 1078718-43-0 CAPLUS

CN 3-Piperidinecarboxylic acid, 1-[6-(1H-indol-1-y1)-2-pyraziny1]- (CA INDEX NAME)

RN 1078718-44-1 CAPLUS

CN 3-Pyridinecarboxylic acid, 1,4,5,6-tetrahydro-1-[6-(1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 1078718-45-2 CAPLUS

CN Butanoic acid, 4-[[6-(1H-indol-1-yl)-2-pyrazinyl]amino]- (CA INDEX NAME)

HO2C- (CH2)3-NH

RN 1078718-46-3 CAPLUS

CN Hexanoic acid, 6-[[6-(1H-indol-1-y1)-2-pyraziny1]amino]- (CA INDEX NAME)

HO2C- (CH2)5-NH

AB Structually related to the known CK2 inhibitors, 2,6-disubstituted pyrazine and 4,6-disubstituted pyrimidine derivs. were synthesized and their inhibitory activities toward CK2a and CK2a' were evaluated. Structure-activity relationship study has revealed that several pyrazine derivs. bearing a (pyrrol-3-y1)acetic acid and a monosubstituted aniline possess potent inhibitory activities.

REFERENCE COUNT: 22 THESE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10581412

L4 ANSWER 2 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1097319 CAPLUS

DOCUMENT NUMBER: 149:299805

TITLE: Antitumor agents containing pyrazine derivatives

INVENTOR(S): Sekitani, Yumiko; Yamada, Masaki; Nishimura, Kazumi PATENT ASSIGNEE(S): Toray Industries, Inc., Japan

SOURCE: Jpn. Kokai Tokkvo Koho, 80pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008208074	A	20080911	JP 2007-46700	20070227
PRIORITY APPLN. INFO.:			JP 2007-46700	20070227
OTHER SOURCE(S):	MARPAT	149:299805		
IT 940882-05-3P 940882-	-36-0P	940882-38-2P		
0/10002_52_00 0/10002	-56-4P	940882-66-6P		

940882-52-0P 940882-56-4P 940882-66-6P 940882-70-2P 940882-77-9P 940882-78-0P 1050682-08-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antitumor agents containing pyrazine derivs.)

RN 940882-05-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-piperidiny1)-1H-indazol-1-y1]-2pyraziny1]- (CA INDEX NAME)

CH2-CO2H

RN 940882-36-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(1-methylethyl)-1-piperazinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-38-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(2-methoxyethyl)-1-piperazinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-52-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[3-(diethylamino)-1-pyrrolidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-56-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(dimethylamino)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-66-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclohexylamino)-1H-indazol-1-y1]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-70-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1-methylethyl)amino]-1H-indazol-1-yl]2-pyrazinyl]- (CA INDEX NAME)

RN 940882-77-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclopentylamino)-1H-indazol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

RN 940882-78-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(2,3-dihydro-1H-inden-2-yl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 1050682-08-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclopentylamino)-1H-indazol-1-yl]-2pyrazinyl]-, sodium salt (1:1) (CA INDEX NAME)

Na

IT 940881-86-7P 940881-92-5P 940881-98-1P 940882-03-1P 940882-07-5P 940882-11-1P 940882-15-5P 940882-19-9P 940882-23-5P

10581412

940882-25-7P 940882-27-9P 940882-29-1P 940882-31-5P 940882-33-7P 940882-34-8P 940882-35-9P 940882-37-1P 940882-39-3P 940882-41-7P 940882-43-9P 940882-45-1P 940882-47-3P 940882-49-5P 940882-51-9P 940882-53-1P 940882-55-3P 940882-57-5P 940882-59-7P 940882-61-1P 940882-63-3P 940882-64-4P RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (antitumor agents containing pyrazine derivs.) 940881-86-7 CAPLUS

RN

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[methyl(1-methylethyl)amino]-1H-indazol-1-y1]-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

RN 940881-92-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-pyrrolidiny1)-1H-indazol-1-y1]-2pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940881-98-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(dimethylamino)-1H-indazol-1-y1]-2pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-03-1 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-piperidiny1)-1H-indazol-1-y1]-2pyraziny1]-, ethyl ester (CA INDEX NAME)

- RN 940882-07-5 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-morpholiny1)-1H-indazol-1-y1]-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

940882-11-1 CAPLUS
1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methyl-1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME) CN

940882-15-5 CAPLUS RN

1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methyl-1-piperazinyl)-1H-indazol-1-CN yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-19-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methoxy-1-piperidiny1)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-23-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(hydroxymethyl)-1-piperidinyl]-1Hindazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-25-7 CAPLUS
CN H=Pyrrole-3-acetic acid, 1-[6-[6-(ethylamino)-1H-indazo1-1-y1]-2pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-27-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(hexylamino)-1H-indazol-1-yl]-2pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN

940882-29-1 CAPLUS 1H-Pytrole-3-acetic acid, 1-[6-[6-(2,6-dimethyl-4-morpholinyl)-1H-indazol-1-yl]-2-pytazinyl]-, ethyl ester (CA INDEX NAME) CN

940882-31-5 CAPLUS RN

1H-Pyrrole-3-acetic acid, 1-[6-[6-(3,5-dimethyl-1-piperidinyl)-1H-indazol-CN 1-y1]-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

RN 940882-33-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[1-[6-[3-(2-ethoxy-2-oxoethyl)-1H-pyrrol-1-yl]-2-pyrazinyl]-1H-indazol-6-yl]-, phenylmethyl ester (CA INDEX NAME)

RN 940882-34-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-piperaziny1)-1H-indazol-1-y1]-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

RN 940882-35-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(1-methylethyl)-1-piperazinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-37-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(2-methoxyethyl)-1-piperazinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN

940882-39-3 CAPLUS 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(2-methoxyethoxy)-1-piperidiny1]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME) CN

940882-41-7 CAPLUS RN

1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(methoxymethyl)-1-piperidinyl]-1H-CN indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

- RN 940882-43-9 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(methylsulfonyl)[2-(4-morpholinyl)ethyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

- RN 940882-45-1 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(methylsulfonyl)amino]-1H-indazol-1-y1]2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

940882-47-3 CAPLUS 1H-Pytrole-3-acetic acid, 1-[6-[6-[ethyl(methylsulfonyl)amino]-1H-indazol-1-yl]-2-pytazinyl]-, ethyl ester (CA INDEX NAME) CN

940882-49-5 CAPLUS RN

1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclopentylmethylamino)-1H-indazol-1-CN yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-51-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[3-(diethylamino)-1-pyrrolidiny1]-1H-indazol-1-y1]-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

RN 940882-53-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[3-(dimethylamino)-1-pyrrolidinyl]-1Hindazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN

940882-55-3 CAPLUS 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(dimethylamino)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME) CN

940882-57-5 CAPLUS RN

1H-Pyrrole-3-acetic acid, 1-[6-[6-(3,6-dihydro-1(2H)-pyridinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME) CN

RN 940882-59-7 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1H-pyrrol-1-y1)-1H-indazol-1-y1]-2pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-61-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[4-(1-piperidiny1)-1H-indazol-1-y1]-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

RN 940882-63-3 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-(5-amino-1H-indazol-1-y1)-2-pyraziny1]-,
ethyl ester (CA INDEX NAME)

RN 940882-64-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(5-amino-1H-indazo1-1-y1)-2-pyraziny1](CA INDEX NAME)

IT

940881-89-0P 940881-95-8P 940882-00-8P 940882-09-7P 940882-13-3P 940882-17-7P 940882-21-3P 940882-24-6P 940882-26-8P 940882-28-0P 940882-30-4P 940882-32-6P 940882-40-6P 940882-42-8P 940882-44-0P 940882-46-2P 940882-48-4P 940882-50-8P 940882-54-2P 940882-58-6P 940882-60-0P 940882-62-2P 940882-65-5P 940882-67-7P 940882-68-8P 940882-69-9P 940882-71-3P 940882-72-4P 940882-73-5P 940882-74-6P 940882-75-7P 940882-76-8P 940882-79-1P 940882-80-4P 940882-81-5P 940882-82-6P 940882-83-7P 940882-84-8P 940882-85-9P RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (antitumor agents containing pyrazine derivs.)

940881-89-0 CAPLUS RN CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[methyl(1-methylethyl)amino]-1H-indazol-1-y1]-2-pyraziny1]- (CA INDEX NAME)

RN 940881-95-8 CAPLUS 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-pyrrolidinyl)-1H-indazol-1-yl]-2-CN pvrazinyl]- (CA INDEX NAME)

RN 940882-00-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(dimethylamino)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-09-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-morpholinyl)-1H-indazol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

RN 940882-13-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methyl-1-piperidinyl)-1H-indazol-1-y1]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-17-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methyl-1-piperazinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-21-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methoxy-1-piperidiny1)-1H-indazol-1-y1]-2-pyraziny1]- (CA INDEX NAME)

RN 940882-24-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(hydroxymethyl)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-26-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(ethylamino)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-28-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(hexylamino)-1H-indazol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

RN 940882-30-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(2,6-dimethyl-4-morpholinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-32-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(3,5-dimethyl-1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-40-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(2-methoxyethoxy)-1-piperidinyl]-1Hindazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-42-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(methoxymethyl)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-44-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(methylsulfonyl)][2-(4-morpholinyl)]ethyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-46-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(methylsulfonyl)amino]-1H-indazol-1-yl]2-pyrazinyl]- (CA INDEX NAME)

RN 940882-48-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[ethyl(methylsulfonyl)amino]-1H-indazol-1-y1]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-50-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclopentylmethylamino)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-54-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[3-(dimethylamino)-1-pyrrolidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-58-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(3,6-dihydro-1(2H)-pyridinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-60-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1H-pyrrol-1-yl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-62-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[4-(1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-65-5 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1H-imidazol-5-ylmethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-67-7 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(cyclohexylmethy1)amino]-1H-indazol-1y1]-2-pyraziny1]- (CA INDEX NAME)

- RN 940882-68-8 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cycloheptylamino)-1H-indazol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

- RN 940882-69-9 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(2-phenylethyl)amino]-1H-indazol-1-y1]2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-71-3 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(3-pyridinylmethyl)amino]-1H-indazol-1yl]-2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-72-4 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(tetrahydro-2H-pyran-4-yl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-73-5 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(3-methylbutyl)amino]-1H-indazol-1-y1]2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-74-6 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1-acetyl-4-piperidiny1)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-75-7 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(2-thiazolylmethyl)amino]-1H-indazol-1yl]-2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-76-8 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1-cyclohexylethy1)amino]-1H-indazol-1y1]-2-pyraziny1]- (CA INDEX NAME)

- RN 940882-79-1 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[(4-methoxyphenyl)methyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-80-4 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-81-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(2-ethyl-4-methyl-1H-imidazol-5-yl)methyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-82-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[1-(phenylmethyl)-3-pyrrolidinyl]amino]1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-83-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(2-butyl-5-chloro-1H-imidazol-4-yl)methyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-84-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[1-(phenylmethyl)-4-piperidinyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-85-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(3-methyl-2-buten-1-yl)amino]-1Hindazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

GΙ

AB The invention provides an antitumor agent containing a pyrazine derivative represented by a general formula I (n = 0-2; Rl = H, Cl-4 alkyl; A = indolediyl, pyrrolediyl, furandiyl, thiophenediyl, etc.; RZ, R3 = H, Cl-8 alkyl, C3-8 (un)substituted cyclic alkyl, etc., wherein R2 and R3 can form a (un)substituted cyclic alkyl, etc., wherein R2 and R3 can form a (un)substituted 5- or 6-membered heterocyclic group), or its pharmaceutically acceptable salt as an active component. For example, 1-[6-[6-(cyclopentylamino)-HH-indazol-1-yl]-2-pyrazinyl]-HH-pyrrole-3-acetic acid was prepared, and examined for its antitumor effect in mouse and human leukemia, colon cancer, lung cancer, breast cancer, and prostate cancer cells.

L4 ANSWER 3 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1011384 CAPLUS

DOCUMENT NUMBER: 149:288938

TITLE: Preparation of new substituted arylsulphonylglycines as inhibitors of the interaction between glycogen phosphorylase and GL subunit of glycogen-associated

protein phosphatase 1 and their pharmaceutical compositions useful for treating diabetes

INVENTOR(S): Wagner, Holger; Langkopf, Elke; Streicher, Ruediger;

Eckhardt, Matthias; Schuler-Metz, Annette; Pautsch,

Alexander; Schoelch, Corinna

PATENT ASSIGNEE(S): Boehringer Ingelheim International G.m.b.H., Germany

SOURCE: PCT Int. Appl., 397pp.

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2 PATENT INFORMATION:

PAT	ENT :	NO.			KIN	D	DATE			APPL	ICAT	I NOI	NO.		D	ATE	
						_									-		
WO						0821	WO 2008-EP51824 2008021							215			
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KP,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NI,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		ΙE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	TJ,	TM							
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DE 102007007751 A1 20080821 DE 2007-102007007751 20070216
PRIORITY APPLN. INFO:
OTHER SOURCE(S): MARPAT 149:288938

I 1049029-33-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USAS)

(drug candidate; preparation of substituted arylsulfonylglycines as inhibitors of interaction between glycogen phosphorylase and GL subunit of glycogen-associated protein phosphatase 1 for treating diabetes mellitus)

RN 1049029-33-5 CAPLUS

CN Glycine, N-[(3,5-dichlorophenyl)sulfonyl]-N-[1-[6-(1-piperazinyl)-2-pyrazinyl]-1H-indol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

IT 1049020-88-3P 1049021-59-1P 1049022-04-9P 1049025-73-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate, preparation of substituted arylsulfonylglycines as inhibitors of interaction between glycogen phosphorylase and GL subunit of glycogen-associated protein phosphatase 1 for treating diabetes mellitus)

RN 1049020-88-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[6-[5-[[(3,5-dichlorophenyl)sulfonyl][2-(1,1-dimethylethoxy)-2-oxoethyl]amino]-1H-indol-1-yl]-2-pyrazinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1049021-59-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[6-[5-[[(3,5-dichlorophenyl)sulfonyl]amino]-1H-indol-1-yl]-2-pyrazinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 1049022-04-9 CAPLUS
- CN 1-Piperazinecarboxylic acid, 4-[6-(5-amino-1H-indol-1-yl)-2-pyrazinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 1049025-73-1 CAPLUS
- CN 1-Piperazinecarboxylic acid, 4-[6-(5-nitro-1H-indol-1-y1)-2-pyrazinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

GΙ

AB The invention is related to the preparation of substituted arylsulfonylglycines I [R5 = (un)substituted Ph, pyridazin-3-yl, pyrimidin-2-yl, pyrimidin-3-yl], pyrimidin-4-yl, pyrimidin-5-yl, pyrazin-2-yl, pyridin-3-yl], tautomers, enantiomers, diastereomers, and their mixts. and their salts, and their analogs which have the ability to suppress the interaction of glycogen phosphorylase with the GL subunit of glycogen-associated protein phosphatase I (PPI), and to their pharmaceutical compns. useful for treating diabetes mellitus. Thus, alkylation of 3,5-dichloro-N-(IH-indol-5-yl)benzenesulfonamide with tert-Bu 2-bromoacetate in DMF in the presence of KZCO3, N-arylation of indole with

2-iodobenzonitrile in toluene in the presence of K3PO4 and CuI and cleavage of the tert-Bu group gave phenylsulfinylglycine II. In a binding test, arylsulfonylglycines I inhibited the interaction of human liver glycogen phosphorylase with protein PP1R3 (GL subunit of glycogen-associated PP1) with IC50 values in the range of 9 nM to 15 µM.

L4 ANSWER 4 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1004593 CAPLUS

DOCUMENT NUMBER: 149:288936

TITLE: Preparation of new substituted arylsulphonylglycines as inhibitors of the interaction between glycogen phosphorylase and GL subunit of glycogen-associated

protein phosphatase 1 and their pharmaceutical compositions useful for treating diabetes

INVENTOR(S): Wagner, Holger; Langkopf, Elke; Eckhardt, Matthias;

Streicher, Ruediger; Schoelch, Corinna; Schuler-Metz,

Annette; Pautsch, Alexander

PATENT ASSIGNEE(S): Boehringer Ingelheim Pharma G.m.b.H. & Co. K.-G., Germany

SOURCE: Ger. Offen., 276pp.

CODEN: GWXXBX DOCUMENT TYPE: Patent

LANGUAGE: German FAMILY ACC. NUM. COUNT: 2

LWITTI	ACC.	MODE.	COOM
PATENT	INFO	RMATI	: MC

PAT	TENT	NO.			KIN	D	DATE			APPL	ICAT	ION :	NO.		D	ATE	
DE	1020				A1	_	2008	0821		DE 2	007-	1020	0700	7751	2	0070	216
WO	2008	0990	00		A2		2008	0821		WO 2	008-	EP51	824		2	0080	215
	W:	ΑE,	AG,	AL,	AM,	AO,	AT,	AU,	AZ,	BA,	BB,	BG,	BH,	BR,	BW,	BY,	BZ,
		CA,	CH,	CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,
		FI,	GB,	GD,	GE,	GH,	GM,	GT,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,
		KG,	KM,	KN,	KΡ,	KR,	KZ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,
		ME,	MG,	MK,	MN,	MW,	MX,	MY,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,
		PL,	PT,	RO,	RS,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SM,	SV,	SY,	TJ,	TM,
		TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	ZA,	ZM,	ZW			
	RW:	AT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HR,	HU,
		IE,	IS,	IT,	LT,	LU,	LV,	MC,	MT,	NL,	NO,	PL,	PT,	RO,	SE,	SI,	SK,
		TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,
		TG,	BW,	GH,	GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,
		AM,	AZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM							
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PRIORITY APPLN. INFO.: DE 2007-102007007751A 20070216 IT 1049029-33-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (USES)

(drug candidate; preparation of substituted arylsulfonylglycines as inhibitors of interaction between glycogen phosphorylase and GL subunit of glycogen-associated protein phosphatase 1 for treating diabetes mellitus;

RN 1049029-33-5 CAPLUS

CN Glycine, N-[(3,5-dichlorophenyl)sulfonyl]-N-[1-[6-(1-piperazinyl)-2-pyrazinyl]-1H-indol-5-yl]-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

IT 1049020-88-3P 1049021-59-1P 1049022-04-9P 1049025-73-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate, preparation of substituted arylsulfonylglycines as inhibitors of interaction between glycogen phosphorylase and GL subunit of glycogen-associated protein phosphatase 1 for treating diabetes mellitus)

RN 1049020-88-3 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[6-[5-[[(3,5-dichlorophenyl)sulfonyl][2-(1,1-dimethylethoxy)-2-oxoethyllamino]-1H-indol-1-yl]-2-pyrazinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

RN 1049021-59-1 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[6-[5-[[(3,5-dichlorophenyl)sulfonyl]amino]-1H-indol-1-yl]-2-pyrazinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 1049022-04-9 CAPLUS
- CN 1-Piperazinecarboxylic acid, 4-[6-(5-amino-1H-indol-1-yl)-2-pyrazinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

- RN 1049025-73-1 CAPLUS
- CN 1-Piperazinecarboxylic acid, 4-[6-(5-nitro-1H-indol-1-y1)-2-pyrazinyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

GΙ

AB The invention is related to the preparation of substituted arylsulfonylglycines I [R5 = (un)substituted Ph, pyridazin-3-yl, pyrimidin-2-yl, pyrimidin-3-yl, pyrimidin-2-yl, pyrimidin-3-yl), tautomers, enantiomers, diastereomers, and their mixts. and their salts, and their analogs which have the ability to suppress the interaction of glycogen phosphorylase with the GL subunit of glycogen-associated protein phosphatase I (PP1), and to their pharmaceutical compns. useful for treating diabetes mellitus. Thus, alkylation of 3,5-dichloro-N-(lH-indol-5-yl)benzenesulfonamide with tert-Bu 2-bromoacetate in DMF in the presence of KZCO3, N-arylation of indole with

2-iodobenzonitrile in toluene in the presence of K3PO4 and CuI and cleavage of the tert-Bu group gave phenylsulfinylglycine II. In a binding test, arylsulfonylglycines I inhibited the interaction of human liver glycogen phosphorylase with protein PP1R3 (GL subunit of glycogen-associated PP1) with IC50 values in the range of 9 nM to 15 µM.

10581412

L4 ANSWER 5 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:939664 CAPLUS

DOCUMENT NUMBER: 149:239318

TITLE: Pyrazine derivs. as antitumor agents

INVENTOR(S): Sekitani, Yumiko; Yamada, Masaki; Nishimura, Kazumi
PATENT ASSIGNEE(S): Toray Industries, Inc., Japan

SOURCE: Jpn. Kokai Tokkvo Koho, 19pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2008179567	A	20080807	JP 2007-14604	20070125
PRIORITY APPLN. INFO.:			JP 2007-14604	20070125
OTHER SOURCE(S):	MARPAT	149:239318		

IT 1044598-65-3P 1044598-67-5P,

1-[6-(6-Fluoro-1H-indol-1-yl)pyrazin-2-yl]-1H-pyrazole-4-carboxylic acid

1044598-68-6P 1044598-70-0P 1044598-71-1P,

Ethyl 2-[1-[6-(3-amino-6-chloro-1H-indazolyl)pyrazin-2-yl]pyrrol-3-yl]acetate 1044598-72-2P 1044598-73-3P, Ethyl

2-[1-[6-(6-cyano-1H-indazolyl)pyrazin-2-yl]pyrrol-3-yl]acetate

1044598-74-4P 1044598-75-5P, Ethyl

 $2-[1-[6-(6-\text{trifluoromethyl-1H-indazolyl}) \, pyrazin-2-yl] pyrrol-3-yl] \, acetate \, 1044598-76-6P$

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(pyrazine derivs. as antitumor agents)

RN 1044598-65-3 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-[6-(6-fluoro-1H-indol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 1044598-67-5 CAPLUS

RN 1044598-68-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-amino-6-[2-(4-morpholiny1)ethoxy]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 1044598-70-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-amino-6-[2-(4-morpholiny1)ethoxy]-1H-indazol-1-y1]-2-pyraziny1]- (CA INDEX NAME)

- RN 1044598-71-1 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-(3-amino-6-chloro-1H-indazol-1-y1)-2pyrazinyl]-, ethyl ester (CA INDEX NAME)

- RN 1044598-72-2 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-(3-amino-6-chloro-1H-indazol-1-y1)-2pyrazinyl]- (CA INDEX NAME)

- RN 1044598-73-3 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-(6-cyano-1H-indazol-1-y1)-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

RN 1044598-74-4 CAPLUS CN 1H-Pyrrole-3-acetic acid, 1-[6-(6-cyano-1H-indazol-1-y1)-2-pyraziny1]-(CA INDEX NAME)

RN 1044598-75-5 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(trifluoromethyl)-1H-indazol-1-yl]-2pyrazinyl-, ethyl ester (CA INDEX NAME)

- RN 1044598-76-6 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(trifluoromethyl)-1H-indazol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

- IT 875900-37-1 875900-43-9 1044598-77-7
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
 (Biological study); USES (Uses)
 - (pyrazine derivs. as antitumor agents) RN 875900-37-1 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-indazol-1-y1)-2-pyraziny1]- (CA INDEX NAME)

- RN 875900-43-9 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-(6-nitro-1H-indazol-1-y1)-2-pyraziny1]-(CA INDEX NAME)

RN 1044598-77-7 CAPLUS

CN 1H-Pyrazole-4-acetic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

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$$\begin{array}{cccc}
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& & & & & \\
R_100C - (CH_2)n & & & & I
\end{array}$$

AB The pyrazine derivs. (I; n = 0-2; R1 = H, C1-3 alkyl; A = heterocyclic; E = direct bonding or <math>-MH = 1; E = -MH = 1; D = (substituted) Ph at thizolyl, aromatic) and their pharmaceutically acceptable salts are claimed as antitumor agents for treatment of colon cancer, lung cancer, prostate cancer, hepatoma, mammary cancer, and leukemia. I were prepared, and their antitumor effects were tested.

L4 ANSWER 6 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:447377 CAPLUS

DOCUMENT NUMBER: 148:426887

TITLE: Preparation of indazolyl derivatives useful as

potassium channel modulating agents

INVENTOR(S): Eriksen, Birgitte L.; Soerensen, Ulrik Svane; Hougaard, Charlotte; Teuber, Lene; Peters, Dan;

Christophersen, Palle; Johansen, Tina Holm

PATENT ASSIGNEE(S): Neurosearch A/S, Den. SOURCE: PCT Int. Appl., 38pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT	INFORMATION:

PATENT NO.			KIND	APPLICATION NO.					DATE							
					DATE											
	WO 2008	040753	3	A1	20	0080410	Ţ	WO 20	07-E	EP604	193		20	0071	003	
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		CH, C	CN, CO,	CR, C	CU, C	CZ, DE,	DK,	DM,	DO,	DZ,	EC,	EE,	EG,	ES,	FI,	
		GB, C	GD, GE,	GH, C	GM, G	GT, HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KΕ,	KG,	
		KM, F	KN, KP,	KR, F	KZ, I	LA, LC,	LK,	LR,	LS,	LT,	LU,	LY,	MA,	MD,	ME,	
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	RW:	AT, E	BE, BG,	CH, C	CY, C	CZ, DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	
		IS, I	IT, LT,	LU, I	LV, N	MC, MT,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	
		GH, C	GM, KE,	LS, N	MW, N	MZ, NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	
		BY, F	KG, KZ,	MD, F	RU, I	IJ, TM										
	PRIORITY APP	LN. II	NFO.:					DK 20						0061		
								US 20	06-8	32794	10P	E	20	0061	003	
	OTHER SOURCE	1/2).		MADDI	AT 14	18 - 1268	Ω7									

OTHER SOURCE(S): MARPAT 148:426887

IT 1018474-72-0P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses) (preparation of novel indazolvl derivs, as potassium channel modulators useful in treatment and prevention of diseases - associated with activity of potassium channels)

RN 1018474-72-0 CAPLUS

CN 2-Pyrazinamine, N-(4-chlorophenyl)-6-(1H-indazol-1-yl)- (CA INDEX NAME)

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

The title compds. I or II [n = 0-3; X = 0, S or NR1 (wherein R1 = H,]alkyl, cycloalkyl or cycloalkyl-alkyl); Y = alkyl, cycloalkyl, (un) substituted Ph, etc.; A1 = N or CR2; A2 = N or CH, provided, however, that only one of A1 and A2 represents N; R1-R4 = H, alkyl, aminoalkyl, etc.; or R1 and R2, together with the heteroarom. ring to which they are attached, form a benzo-fused ring; and R3 and R4 = H, alkyl, aminoalkyl, etc.], useful as potassium channel modulating agents, were prepared E.g., a 2-step synthesis of III (14%) and IV (5%), starting from 2,4-dichloro-6-methylpyrimidine and 4-chloroaniline, was given. The SC100 value determined for III was 0.08 μM which is an indication of its strong SK3 activating properties. Moreover the invention is directed to pharmaceutical compns. useful for the treatment or alleviation of diseases or disorders associated with the activity of potassium channels, in particular respiratory diseases, epilepsy, convulsions, seizures, absence seizures, vascular spasms, coronary artery spasms, renal disorders, polycystic kidney disease, bladder spasms, urinary incontinence, bladder outflow obstruction, erectile dysfunction, gastrointestinal dysfunction, secretory diarrhea, ischemia, cerebral ischemia, ischemic heart, disease, angina pectoris, coronary heart disease, autism, ataxia, traumatic brain injury, Parkinson's disease, bipolar disorder, psychosis, schizophrenia, anxiety, depression, mania, mood disorders, dementia, memory and attention deficits, Alzheimer's disease, amyotrophic lateral sclerosis (ALS), dysmenorrhea, narcolepsy, Reynaud's disease, intermittent claudication, Sjorgren's syndrome, arrhythmia, hypertension, myotonic muscle dystrophia, spasticity, xerostomia, diabetes type II, hyperinsulinemia, premature labour, baldness, cancer, irritable bowel syndrome, immune suppression, migraine and pain.

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 7 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:640251 CAPLUS

DOCUMENT NUMBER: 147:52932

TITLE: Preparation of novel pyrazines and their use for

treatment of nephritis

INVENTOR(S): Fuchi, Nobuhiro; Iura, Yosuke; Kaneko, Hiroaki;

Yamada, Masaki; Sekitani, Yumiko PATENT ASSIGNEE(S): Toray Industries, Inc., Japan Jpn. Kokai Tokkyo Koho, 69pp.

SOURCE:

CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE		PLICATION NO.	DATE
		5 A			2005-345710	
PRIC	RITY APPLN. IN	NFO.:		JP	2005-345710	20051130
OTHE	R SOURCE(S):	MARPAT	г 147:52932			
IT	940881-86-7P	940881-89-0P	940881-92-5P			
	940881-95-8P	940881-98-1P	940882-00-8P			
	940882-03-1P	940882-05-3P	940882-07-5P			
		940882-11-1P				
	940882-15-5P	940882-17-7P	940882-19-9P			
	940882-21-3P	940882-23-5P	940882-24-6P			
	940882-25-7P	940882-26-8P	940882-27-9P			
	940882-28-0P	940882-29-1P	940882-30-4P			
	940882-31-5P	940882-32-6P	940882-33-7P			
	940882-34-8P	940882-35-9P	940882-36-0P			
	940882-37-1P	940882-38-2P	940882-39-3P			
	940882-40-6P	940882-41-7P	940882-42-8P			
	940882-43-9P	940882-44-0P	940882-45-1P			
	940882-46-2P	940882-47-3P	940882-48-4P			
	940882-49-5P	940882-50-8P	940882-51-9P			
	940882-52-0P	940882-53-1P	940882-54-2P			
	940882-55-3P	940882-56-4P	940882-57-5P			
		940882-59-7P				
	940882-61-1P	940882-62-2P	940882-63-3P			
	940882-64-4P	940882-65-5P	940882-66-6P			
	940882-67-7P	940882-68-8P	940882-69-9P			
	940882-70-2P	940882-71-3P	940882-72-4P			
	940882-73-5P	940882-74-6P	940882-75-7P			
	940882-76-8P	940882-77-9P	940882-78-0P			
	940882-79-1P	940882-80-4P	940882-81-5P			
	940882-82-6P	940882-83-7P	940882-84-8P			
	940882-85-9P					
		macological a	activity); SP	N (Synthetic preparat	ion); THU
); PREP (Preparati	
	(Uses)	.,	J	-2		.,
		ion of pyrazin	nes for treat	men:	t of nephritis)	
RN	940881-86-7				,	

1H-Pyrrole-3-acetic acid, 1-[6-[6-[methyl(1-methylethyl)amino]-1H-indazol-

1-y1]-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

CN

RN 940881-89-0 CAPLUS
CN H-Pyrrol-3-acetic acid, 1-[6-[6-[methyl(1-methylethyl)amino]-1H-indazol1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940881-92-5 CAPLUS
CN HR-Pyrrole-3-acetic acid, 1-[6-[6-(1-pyrrolidinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

10581412

- RN 940881-95-8 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-pyrrolidinyl)-1H-indazol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

- RN 940881-98-1 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(dimethylamino)-1H-indazol-1-y1]-2pyrazinyl]-, ethyl ester (CA INDEX NAME)

- RN 940882-00-8 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(dimethylamino)-1H-indazol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

RN 940882-03-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-piperidinyl)-1H-indazol-1-yl]-2pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-05-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-piperidiny1)-1H-indazol-1-y1]-2pyraziny1]- (CA INDEX NAME)

RN 940882-07-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-morpholiny1)-1H-indazo1-1-y1]-2-

pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-09-7 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-morpholiny1)-1H-indazol-1-y1]-2pyraziny1]- (CA INDEX NAME)

- RN 940882-11-1 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methyl-1-piperidinyl)-1H-indazol-1yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-13-3 CAPLUS
CN HR-Pyrrole-3-acetic acid, 1-[6-[6-(4-methyl-1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-15-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methyl-1-piperazinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

- RN 940882-17-7 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methyl-1-piperazinyl)-1H-indazol-1yl]-2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-19-9 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methoxy-1-piperidiny1)-1H-indazol-1-y1]-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

- RN 940882-21-3 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(4-methoxy-1-piperidiny1)-1H-indazol-1-y1]-2-pyraziny1]- (CA INDEX NAME)

RN 940882-23-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(hydroxymethyl)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-24-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(hydroxymethyl)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-25-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(ethylamino)-1H-indazol-1-y1]-2-

pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-26-8 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(ethylamino)-1H-indazol-1-y1]-2pyrazinyl- (CA INDEX NAME)

RN 940882-27-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(hexylamino)-1H-indazol-1-y1]-2pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-28-0 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(hexylamino)-1H-indazol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

RN 940882-29-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(2,6-dimethyl-4-morpholinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

10581412

- RN 940882-30-4 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(2,6-dimethyl-4-morpholinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-31-5 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(3,5-dimethyl-1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

- RN 940882-32-6 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(3,5-dimethyl-1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-33-7 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[1-[6-[3-(2-ethoxy-2-oxoethyl)-1H-pyrrol-1-yl]-2-pyrazinyl]-1H-indazol-6-yl]-, phenylmethyl ester (CA INDEX NAME)

RN 940882-34-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1-piperaziny1)-1H-indazol-1-y1]-2pyraziny1]-, ethyl ester (CA INDEX NAME)

- RN 940882-35-9 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(1-methylethyl)-1-piperazinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

- RN 940882-36-0 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(1-methylethyl)-1-piperazinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-37-1 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(2-methoxyethyl)-1-piperazinyl]-1Hindazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-38-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(2-methoxyethyl)-1-piperazinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-39-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(2-methoxyethoxy)-1-piperidiny1]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

$$\mathsf{MeO-CH_2-CH_2-O} \\ \mathsf{N} \\ \mathsf{N} \\ \mathsf{N} \\ \mathsf{N} \\ \mathsf{N} \\ \mathsf{CH_2-C-OEt} \\ \mathsf{CH_2-C-OEt} \\ \mathsf{N} \\ \mathsf{N$$

- RN 940882-40-6 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(2-methoxyethoxy)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-41-7 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(methoxymethyl)-1-piperidinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

- RN 940882-42-8 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(methoxymethyl)-1-piperidinyl]-1Hindazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-43-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(methylsulfonyl)[2-(4-morpholinyl)ethyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-44-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(methylsulfonyl)][2-(4-morpholinyl)] amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-45-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(methylsulfonyl)amino]-1H-indazol-1-y1]2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

- RN 940882-46-2 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(methylsulfonyl)amino]-1H-indazol-1-y1]-2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-47-3 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[ethyl(methylsulfonyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-48-4 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[ethyl(methylsulfonyl)amino]-1H-indazol1-y1]-2-pyrazinyl- (CA INDEX NAME)

RN 940882-49-5 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclopentylmethylamino)-1H-indazol-1-y1]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

- RN 940882-50-8 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclopentylmethylamino)-1H-indazol-1yl]-2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-51-9 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[3-(diethylamino)-1-pyrrolidiny1]-1H-indazol-1-y1]-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

- RN 940882-52-0 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[3-(diethylamino)-1-pyrrolidiny1]-1Hindazol-1-y1]-2-pyraziny1]- (CA INDEX NAME)

RN 940882-53-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[3-(dimethylamino)-1-pyrrolidinyl]-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-54-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[3-(dimethylamino)-1-pyrrolidinyl]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-55-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[4-(dimethylamino)-1-piperidiny1]-1H-

indazol-1-y1]-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

RN 940882-56-4 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[4-(dimethylamino)-1-piperidinyl]-1H-indazo1-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-57-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(3,6-dihydro-1(2H)-pyridinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-58-6 CAPLUS
CN HR-Pyrrole-3-acetic acid, 1-[6-[6-(3,6-dihydro-1(2H)-pyridinyl)-1H-indazoll-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-59-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1H-pyrrol-1-yl)-1H-indazol-1-yl]-2pyrazinyl]-, ethyl ester (CA INDEX NAME)

- RN 940882-60-0 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(1H-pyrrol-1-yl)-1H-indazol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

- RN 940882-61-1 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[4-(1-piperidinyl)-1H-indazol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

- RN 940882-62-2 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[4-(1-piperidinyl)-1H-indazol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

RN 940882-63-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(5-amino-1H-indazol-1-y1)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 940882-64-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(5-amino-1H-indazol-1-yl)-2-pyrazinyl]-(CA INDEX NAME)

RN 940882-65-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1H-imidazol-5-ylmethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-66-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclohexylamino)-1H-indazol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

RN 940882-67-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(cyclohexylmethyl)amino]-1H-indazol-1-y1]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-68-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cycloheptylamino)-1H-indazol-1-y1]-2pyrazinyl]- (CA INDEX NAME)

RN 940882-69-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(2-phenylethyl)amino]-1H-indazol-1-yl]2-pyrazinyl]- (CA INDEX NAME)

RN 940882-70-2 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1-methylethyl)amino]-1H-indazol-1-yl]2-pyrazinyl]- (CA INDEX NAME)

RN 940882-71-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(3-pyridinylmethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-72-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(tetrahydro-2H-pyran-4-yl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-73-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(3-methylbutyl)amino]-1H-indazol-1-yl]2-pyrazinyl]- (CA INDEX NAME)

RN 940882-74-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1-acetyl-4-piperidinyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-75-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(2-thiazolylmethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-76-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1-cyclohexylethyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-77-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(cyclopentylamino)-1H-indazol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

RN 940882-78-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[6-[(2,3-dihydro-1H-inden-2-yl)amino]-1Hindazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-79-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(4-methoxyphenyl)methyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-80-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[6-[1-[3-(trifluoromethyl)phenyl]ethyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-81-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[(2-ethyl-4-methyl-1H-imidazol-5yl)methyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 940882-82-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[1-(phenylmethyl)-3-pyrrolidinyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-83-7 CAPLUS
- 1H-Pyrrole-3-acetic acid, 1-[6-[6-[[(2-buty1-5-chloro-1H-imidazo1-4-CN yl)methyl]amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

- RN 940882-84-8 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(1-(phenylmethyl)-4-piperidinyl)amino]-1H-indazol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

- RN
- 940882-85-9 CAPLUS 1H-Pyrrole-3-acetic acid, 1-[6-[6-[(3-methyl-2-buten-1-yl)amino]-1H-CN indazol-1-vl]-2-pyrazinyl]- (CA INDEX NAME)

GI

AB Title compds. I [n = 0-2; Rl = H, Cl-4 linear alkyl; A = (Cl-4 linear alkyl-substituted) indolediyl, pyrrolediyl, furandiyl, thiophenediyl, pyraolediyl, imidazolediyl, etc.; X = N, CH; R2, R3 = H, Cl-8 linear alkyl, (un)substituted C3-8 branched or cyclic alkyl, COR4, SOZR4; R4 = H, Cl-3 linear alkyl, C3-5 branched alkyl, Ph; R2 = R3 ≠ H, R2NR3 may form (un)saturated (un)substituted 5- to 6-membered heterocyclyl] are prepared Thus, Et 2-[1-(6-iodopyrazin-2-yl)pyrrol-3-yl]acetate was reacted with 6-(piperidin-1-yl)-1H-indazole to give Et 2-[1-[6-[6-[piperidin-1-yl)-1H-indazol-1-yl]pyrazin-2-yl]-1H-pyrrol-3-yl]acetate, which was hydrolyzed to afford the corresponding carboxylic acid. The product inhibited PDGF-stimulated mesangial cell proliferation with 1C50 value of 2.0 µM.

10581412

SOURCE:

L4 ANSWER 8 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:144145 CAPLUS

DOCUMENT NUMBER: 144:212807

TITLE: Preparation of pyrazines, medicines containing them,

Jpn. Kokai Tokkyo Koho, 83 pp.

and their pharmaceutical use for treatment of

Takahashi, Toshiya; Fuchi, Nobuhiro; Yamada, Masaki; INVENTOR(S):

Nitta, Aiko Toray Industries, Inc., Japan

PATENT ASSIGNEE(S):

CODEN: JKXXAF DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2006045119	A	20060216	JP 2004-228530	20040804
PRIORITY APPLN. INFO.:			JP 2004-228530	20040804
OTHER SOURCE(S):	MARPAT	144:212807		

875899-98-2P 875900-18-8P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL

(Biological study); PREP (Preparation); USES (Uses) (preparation of pyrazines for treatment of nephritis)

RN 875899-98-2 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-[3-(carboxymethyl)-1H-indol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

RN 875900-18-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-[2-(4-morpholiny1)ethy1]-1H-indol-1-y1]-2-pyrazinyl]- (CA INDEX NAME)

(CA INDEX NAME)

875899-97-1P 875900-00-8P 875900-02-0P 875900-04-2P 875900-06-4P 875900-11-1P

875900-13-3P 875900-17-7P 875900-20-2P 875900-22-4P 875900-24-6P 875900-26-8P 875900-29-1P 875900-31-5P 875900-32-6P 875900-34-8P 875900-36-0P 875900-38-2P 875900-40-6P 875900-42-8P 875900-68-8P 875900-70-2P 875900-72-4P 875900-74-6P 875900-76-8P 875900-78-0P 875900-80-4P 875900-82-6P 875900-84-8P 875900-86-0P 875900-88-2P 875900-90-6P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of pyrazines for treatment of nephritis) 875899-97-1 CAPLUS RN 1H-Indole-3-acetic acid, 1,1'-(2,6-pyrazinediyl)bis-, diethyl ester (9CI) CN

- RN 875900-00-8 CAPLUS
- CN 1H-Indole-3-acetic acid, 1-[6-[(3-chlorophenyl)amino]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

- RN 875900-02-0 CAPLUS
- CN 1H-Indole-3-acetic acid, 1-[6-(1H-indol-1-y1)-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

RN 875900-04-2 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-(1H-pyrrol-1-y1)-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

RN 875900-06-4 CAPLUS

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 875900-11-1 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-[3-(2-ethoxy-2-oxoethyl)-1H-pyrrol-1-yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-13-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-indol-1-y1)-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

RN 875900-17-7 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-[2-(4-morpholiny1)ethy1]-1H-indol-1-y1]2-pyraziny1]-, ethy1 ester (CA INDEX NAME)

RN 875900-20-2 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-[2-(4-morpholiny1)-2-oxoethy1]-1H-indol1-y1]-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

875900-22-4 CAPLUS CN

1H-Indole-3-acetic acid, 1-[6-[3-[2-(4-morpholinyl)-2-oxoethyl]-1H-indol-1yl]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-24-6 CAPLUS CN

1H-Indole-3-propanoic acid, 1,1'-(2,6-pyrazinediyl)bis-, diethyl ester (9CI) (CA INDEX NAME)

RN 875900-26-8 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[4-[2-(4-morpholiny1)ethoxy]-1H-indol-1-y1]2-pyraziny1]-, ethyl ester (CA INDEX NAME)

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RN 875900-29-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[5-(phenylmethoxy)-1H-indol-1-yl]-2pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-31-5 CAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-[1-[6-[3-(2-ethoxy-2-oxoethyl)-1H-pyrrol-1-yl]-2-pyrazinyl]-1H-indol-3-yl]ethyl]-, 1,1-dimethylethyl ester (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 875900-32-6 CAPLUS CN 1-Piperazinecarboxy

1-Piperazinecarboxylic acid, 4-[2-[1-[6-[3-(carboxymethyl)-1H-pyrrol-1-yl]-2-pyrazinyl]-1H-indol-3-yl]ethyl]-, 1-(1,1-dimethylethyl) ester (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

RN 875900-34-8 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-pyrrolo[2,3-b]pyridin-1-y1)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

- RN 875900-36-0 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-indazol-1-y1)-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

- RN 875900-38-2 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-benzimidazol-1-y1)-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

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- RN 875900-40-6 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-(3-chloro-1H-indazol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

- RN 875900-42-8 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-(6-nitro-1H-indazol-1-y1)-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

- RN 875900-68-8 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-(5-nitro-1H-indazol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

875900-70-2 CAPLUS
1H-Pyrrole-3-acetic acid, 1-[6-[4-[2-(4-morpholiny1)ethoxy]-1H-indazol-1-yl]-2-pyraziny1]-, ethyl ester (CA INDEX NAME) CN

PAGE 1-A

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- RN 875900-72-4 CAPLUS

PAGE 1-A

PAGE 2-A

- RN 875900-74-6 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-(6-amino-1H-indazol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-76-8 CAPLUS
CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(acetylamino)-1H-indazol-1-yl]-2pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-78-0 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(3-methoxy-1H-indazol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

875900-80-4 CAPLUS 1H-Pyrrole-3-acetic acid, 1-[6-[3-(2-methoxyethoxy)-1H-indazol-1-y1]-2-pyrazinyl]-, ethyl ester (CA INDEX NAME) CN

$${
m MeO-CH_2-CH_2-O}$$

RN 875900-82-6 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(3-amino-1H-indazol-1-y1)-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

RN 875900-84-8 CAPLUS

CN 1H-Pyrrole-2-carboxylic acid, 1-[6-(1H-indol-1-y1)-2-pyraziny1]-, ethyl ester (CA INDEX NAME)

RN 875900-86-0 CAPLUS

CN 1H-Pyrrole-3-carboxylic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

RN 875900-88-2 CAPLUS

CN 1H-Pyrrole-2-acetic acid, 1-[6-(1H-indol-1-y1)-2-pyraziny1]-, ethyl ester

(CA INDEX NAME)

RN 875900-90-6 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]-, ethyl ester (CA INDEX NAME)

IT 87590-01-9P 875900-03-1P 875900-05-3P 875900-01-5P 875900-12-9 875900-12-9P 875900-12-9P 875900-12-9P 875900-25-7P 875900-27-9P 875900-32-1P 875900-33-7P 875900-33-5P 875900-33-5P 875900-33-5P 875900-33-5P 875900-33-5P 875900-31-5P 875900-31-3P 875900-71-3P 875900-33-9P 875900-71-3P 875900-71-3P 875900-71-3P 875900-71-3P 875900-71-3P 875900-81-5P 875900-83-7P 875900-83-7P 875900-83-7P 875900-89-3P 875900-87-1P 875900-89-3P 875900-81-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazines for treatment of nephritis)

RN 875900-01-9 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-[(3-chlorophenyl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-03-1 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-(1H-indol-1-y1)-2-pyraziny1]- (CA INDEX NAME)

RN 875900-05-3 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-(1H-pyrrol-1-y1)-2-pyraziny1]- (CA INDEX NAME)

RN 875900-07-5 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-(2-thiazolylamino)-2-pyrazinyl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 875900-12-2 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-[3-(carboxymethyl)-1H-pyrrol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

RN 875900-14-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-21-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-[2-(4-morpholiny1)-2-oxoethy1]-1H-indol-1-y1]-2-pyraziny1]- (CA INDEX NAME)

RN 875900-23-5 CAPLUS

CN 1H-Indole-3-acetic acid, 1-[6-[3-[2-(4-morpholiny1)-2-oxoethy1]-1H-indol-1-y1]-2-pyraziny1]- (CA INDEX NAME)

RN 875900-25-7 CAPLUS

CN 1H-Indole-3-propanoic acid, 1,1'-(2,6-pyrazinediyl)bis- (CA INDEX NAME)

10581412

RN 875900-27-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[4-[2-(4-morpholinyl)ethoxy]-1H-indol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-30-4 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[5-(phenylmethoxy)-1H-indol-1-yl]-2-pyrazinyl]- (CA INDEX NAME)

- RN 875900-33-7 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-[2-(1-piperaziny1)ethy1]-1H-indol-1-y1]2-pyraziny1]- (CA INDEX NAME)

- RN 875900-35-9 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-pyrrolo[2,3-b]pyridin-1-y1)-2pyrazinyl]- (CA INDEX NAME)

RN 875900-37-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-indazol-1-y1)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-39-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(1H-benzimidazol-1-y1)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-41-7 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(3-chloro-1H-indazol-1-yl)-2-pyrazinyl]-(CA INDEX NAME)

RN 875900-43-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(6-nitro-1H-indazol-1-y1)-2-pyraziny1]- (CA INDEX NAME)

RN 875900-69-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(5-nitro-1H-indazol-1-y1)-2-pyraziny1]-(CA INDEX NAME)

RN 875900-71-3 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[4-[2-(4-morpholiny1)ethoxy]-1H-indazol-1-

y1]-2-pyraziny1]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A CH2-CO2H

- RN 875900-73-5 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-[2-(4-morpholiny1)ethoxy]-1H-indazol-1-yl]-2-pyraziny1]- (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

875900-75-7 CAPLUS RN CN

1H-Pyrrole-3-acetic acid, 1-[6-(6-amino-1H-indazol-1-y1)-2-pyraziny1]-(CA INDEX NAME)

RN 875900-77-9 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[6-(acetylamino)-1H-indazol-1-y1]-2pyrazinyl]- (CA INDEX NAME)

RN 875900-79-1 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-(3-methoxy-1H-indazol-1-y1)-2-pyraziny1]-(CA INDEX NAME)

RN 875900-81-5 CAPLUS

CN 1H-Pyrrole-3-acetic acid, 1-[6-[3-(2-methoxyethoxy)-1H-indazol-1-yl]-2pyrazinyl]- (CA INDEX NAME)

- RN 875900-83-7 CAPLUS
- CN 1H-Pyrrole-3-acetic acid, 1-[6-(3-amino-1H-indazol-1-y1)-2-pyraziny1]-(CA INDEX NAME)

- RN 875900-85-9 CAPLUS
- CN 1H-Pyrrole-2-carboxylic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

- RN 875900-87-1 CAPLUS
- CN 1H-Pyrrole-3-carboxylic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-89-3 CAPLUS

CN 1H-Pyrrole-2-acetic acid, 1-[6-(1H-indol-1-yl)-2-pyrazinyl]- (CA INDEX NAME)

RN 875900-91-7 CAPLUS

CN 1H-Pyrazole-4-carboxylic acid, 1-[6-(1H-indol-1-y1)-2-pyrazinyl]- (CA INDEX NAME)

GΙ

$$R^{1-0-CO-CH_2}$$
 N $E-D$ I

AB Pyrazines I [n = 0-2; Rl = H, Cl-3 linear alkyl; A = indolediyl, pyrrolediyl, furandiyl, thiophenediyl, (iso)thiazolediyl, triazolediyl, etc.; E = none, NH; when E = NH, then D = thiazolyl, (un)substituted Ph; when E = none, then D = (2-phenoxy)phenyl, naphthyl, (iso)quinolyl, (7-aza)indolyl, benzofuranyl, benzofuranyl, byrrolyl, (iso)thiazolyl, etc.], their derivs., or their pharmacol. acceptable salts are prepared compds. are useful for treatment of acute or chronic glomerulonephritis, mesangial proliferative glomerulonephritis, IgA nephropathy, minimal change nephrotic syndrome, membranoproliferative glomerulonephritis, and lupus nephritis. Thus, Et indole-3-acetate was condensed with 2,6-dilodopyrazine and the product was hydrolyzed to give 2-[1-[6-[3-(carboxymethyl)]indoly1]pyrazin-2-yl]indol-3-yl]acetic acid,

10581412

which at 50 mg/kg i.p. showed efficacy in anti-GBM nephritis in rats without adversely affecting the body weight nor organs.

L4 ANSWER 9 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:638866 CAPLUS

DOCUMENT NUMBER: 143:153403

TITLE: Preparation of benzimidazolylazines and related

compounds as selective JAK3 kinase inhibitors

INVENTOR(S): Styles, Michelle Leanne; Zeng, Jun; Treutlein, Herbert Rudolf; Wilks, Andrew Frederick; Kling, Marcel Robert;

Bu, Xianvong; Burns, Christopher John PATENT ASSIGNEE(S): Cytopia Research Pty. Ltd., Australia

SOURCE: PCT Int. Appl., 85 pp.

CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PA	TENT	NO.		KIND		DATE		APPLICATION NO.			DATE							
	WO 2005066156																	
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	, JP,	KE,	KG,	KP,	KR	, KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG	, MK,	MN,	MW,	MX,	MZ	, NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU	, SC,	SD,	SE,	SG,	SK	, SL,	SY,	
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		MR,	ΝE,	SN,	TD,	TG												
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860300-52-3P 860300-53-4P 860300-54-5P 860300-55-6P 860300-56-7P 860300-57-8P

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860300-58-9P 860300-59-0P 860300-60-3P 860300-61-4P 860300-61-4P 860300-62-5P 860300-63-6P 860300-61-4P 860300-62-5P 860300-63-6P 860300-89-1P 860300-89-1P 860300-89-1P 860300-89-1P 860300-89-1P 860300-89-1P 860300-89-1P 860300-89-6P 860300-89-8P 860300-89-4P 860300-99-8P 860301-90-4P 860301-93-1P 860301-99-8P 860301-10-7P 860301-12-5P 860301-13-5P 86030
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(claimed compound; preparation of benzimidazolylazines and related compds.

as selective JAK3 kinase inhibitors)

RN 860293-18-1 CAPLUS

CN 2-Propenamide, N-[1-[6-(ethylamino)-2-pyrazinyl]-1H-benzimidazol-6-yl]-(CA INDEX NAME)

RN 860300-29-4 CAPLUS

N 2-Propenamide, N-[1-[6-[[(1S)-1-methylpropyl]amino]-2-pyrazinyl]-1Hbenzimidazol-6-vll- (CA INDEX NAME)

Absolute stereochemistry.

RN 860300-30-7 CAPLUS

CN 2-Propenamide, N-[1-[6-[[(1R)-1-methylpropyl]amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 860300-31-8 CAPLUS

CN 2-Propenamide, N-[1-[6-(phenylamino)-2-pyrazinyl]-1H-benzimidazol-6-yl]-(CA INDEX NAME)

$$\mathbf{H}_{2}\mathbf{C} = \mathbf{C}\mathbf{H} - \mathbf{C} - \mathbf{N}\mathbf{H}$$

RN 860300-32-9 CAPLUS

CN 2-Propenamide, N-[1-[6-(dimethylamino)-2-pyraziny1]-1H-benzimidazol-6-y1]-(CA INDEX NAME)

RN 860300-33-0 CAPLUS

CN 2-Butynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]- (CA INDEX NAME)

- RN 860300-35-2 CAPLUS
- CN 2-Butynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]-4-hydroxy- (CA INDEX NAME)

- RN 860300-37-4 CAPLUS
- CN 2-Propenamide, N-[1-[6-[(2-methoxyphenyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]- (CA INDEX NAME)

- RN 860300-38-5 CAPLUS
- CN 2-Propenamide, N-[1-[6-[(2-chlorophenyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]- (CA INDEX NAME)

- RN 860300-39-6 CAPLUS
- CN 2-Butynamide, 4-[bis(2-hydroxyethyl)amino]-N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazo1-6-yl]- (CA INDEX NAME)

- RN 860300-40-9 CAPLUS
- CN 2-Pentynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]-5-hydroxy- (CA INDEX NAME)

- RN 860300-43-2 CAPLUS
- CN 2-Propenamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]- (CA INDEX NAME)

- RN 860300-45-4 CAPLUS
- CN 2-Propynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]- (CA INDEX NAME)

- RN 860300-46-5 CAPLUS
- CN 2-Propenamide, N-[1-[6-[(1-methylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

$$\mathbf{H}_{2}\mathbf{C} = \mathbf{C}\mathbf{H} - \mathbf{C} - \mathbf{N}\mathbf{H}$$

RN 860300-47-6 CAPLUS

CN 2-Propenamide, N-[1-[6-(1-piperidiny1)-2-pyraziny1]-1H-benzimidazol-6-y1]-(CA INDEX NAME)

$$\mathbf{H}_{2}\mathbf{C} = \mathbf{C}\mathbf{H} - \mathbf{C} - \mathbf{N}\mathbf{H}$$

RN 860300-49-8 CAPLUS

CN 2-Propenamide, N-[1-[6-[(2-methylphenyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-50-1 CAPLUS

CN 2-Butynamide, N-[1-[6-[(2-methylphenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-51-2 CAPLUS

CN 2-Propenamide, N-[1-[6-[(3-methoxyphenyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-52-3 CAPLUS

CN 2-Propenamide, N-[1-[6-[(2,6-dimethylphenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-53-4 CAPLUS

CN 2-Propenamide, N-[1-[6-[(5-chloro-2-methylphenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-54-5 CAPLUS

CN 2-Propynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]-3-(3-pyridinyl)- (CA INDEX NAME)

RN 860300-55-6 CAPLUS

CN 2-Propenamide, N-[1-[6-[(2-chloro-6-methylphenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-56-7 CAPLUS

CN 2-Propenamide, N-[1-[6-[(3-methyl-2-pyridinyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

- RN 860300-57-8 CAPLUS
- CN 2-Butynamide, N-[1-[6-[(2-chloro-6-methylphenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

- RN 860300-58-9 CAPLUS
- CN 2-Propenamide, N-[1-[6-[(2,5-dichlorophenyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]- (CA INDEX NAME)

- RN 860300-59-0 CAPLUS
- CN 2-Propynamide, N-[1-[6-[(2-chloro-6-methylphenyl)amino]-2-pyrazinyl]-1H-

benzimidazo1-6-y1]-3-(3-pyridiny1)- (CA INDEX NAME)

RN 860300-60-3 CAPLUS

CN 2-Butynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]-4-(4-morpholinyl)- (CA INDEX NAME)

RN 860300-61-4 CAPLUS

CN 2-Propenamide, N-[1-[6-[(2-ethylphenyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-62-5 CAPLUS

CN 2-Pentenamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]-5-(4-morpholinyl)-, (2Z)- (CA INDEX NAME)

Double bond geometry as shown.

- RN 860300-63-6 CAPLUS
- CN 2-Butynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]-4-(4-methyl-1-piperazinyl)- (CA INDEX NAME)

- RN 860300-67-0 CAPLUS
- CN Ethenesulfonamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

- RN 860300-68-1 CAPLUS
- CN 2-Propenamide, N-[1-[6-[(4-methylphenyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-69-2 CAPLUS

CN 2-Pentynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]-5-(4-morpholinyl)- (CA INDEX NAME)

RN 860300-72-7 CAPLUS

CN 2-Propenamide, N-[1-[6-[(3-chloropheny1)amino]-2-pyraziny1]-1Hbenzimidazol-6-y1]- (CA INDEX NAME)

RN 860300-76-1 CAPLUS

CN 2-Propenamide, N-[1-[6-(diethylamino)-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-78-3 CAPLUS

CN 2-Propenamide, N-[1-[6-[methyl(1-methylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 860300-80-7 CAPLUS

CN 2,3-Butadienamide, 4-(diethylamino)-N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

NHBu-t

RN 860300-81-8 CAPLUS

CN 2-Propenamide, N-[1-[6-(1-pyrrolidinyl)-2-pyrazinyl]-1H-benzimidazol-6-yl]-(CA INDEX NAME)

$$H_2C = CH - C - NH$$

- RN 860300-83-0 CAPLUS
- CN 2-Propenamide, N-[1-[6-[(cyclopropylmethyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]- (CA INDEX NAME)

- RN 860300-85-2 CAPLUS
- CN 2-Propenamide, N-[1-[6-[(2,3-dichlorophenyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]- (CA INDEX NAME)

- RN 860300-87-4 CAPLUS
- CN 2-Butynamide, 4-(diethylamino)-N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

NHBu-t

- RN 860300-96-5 CAPLUS
- CN 2-Propenamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1Hbenzimidazo1-6-y1]- (CA INDEX NAME)

Absolute stereochemistry.

RN 860300-99-8 CAPLUS

CN 2-Propenamide, N-[1-[6-(4-methyl-1-piperazinyl)-2-pyrazinyl]-1Hbenzimidazol-6-yl]- (CA INDEX NAME)

$$\mathbf{H}_{2}\mathbf{C} = \mathbf{C}\mathbf{H} - \mathbf{C} - \mathbf{N}\mathbf{H}$$

RN 860301-00-4 CAPLUS

CN 2-Propenamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]-3-(3-pyridinyl)-, (2Z)- (CA INDEX NAME)

Double bond geometry as shown.

RN 860301-03-7 CAPLUS

CN 2-Propenamide, N-[1-[6-(methylamino)-2-pyraziny1]-1H-benzimidazo1-6-y1]-(CA INDEX NAME)

RN 860301-05-9 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 860301-11-7 CAPLUS

CN 2-Propenamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]-2-methyl- (CA INDEX NAME)

RN 860301-12-8 CAPLUS

CN 2-Propenamide, N-[1-[6-(4-morpholiny1)-2-pyraziny1]-1H-benzimidazol-6-y1]-(CA INDEX NAME)

$$\mathbf{H}_{2}\mathbf{C} = \mathbf{C}\mathbf{H} - \mathbf{C} - \mathbf{N}\mathbf{H}$$

- RN 860301-13-9 CAPLUS
- CN 2-Propenoic acid, 3-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]-, methyl ester, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

- RN 860301-15-1 CAPLUS
- CN 2-Propenamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-5-methoxy-1H-benzimidazol-6-yl]- (CA INDEX NAME)

$$\begin{array}{c} \text{MeO} \\ \text{N} \\ \text{N} \\ \text{NHBU-t} \end{array}$$

- RN 860301-19-5 CAPLUS
- CN 2-Butynamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1Hbenzimidazol-5-yl]- (CA INDEX NAME)

- RN 860301-20-8 CAPLUS
- CN 2-Butynamide, N-[1-[6-(phenylamino)-2-pyrazinyl]-1H-benzimidazol-6-yl]-(CA INDEX NAME)

HPh

IT 860301-40-2P 860301-42-4P 860301-43-5P
860301-44-6P 860301-45-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)

(preparation of benzimidazolylazines and related compds. as selective JAK3 kinase inhibitors)

RN 860301-40-2 CAPLUS

CN 2-Propenamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1Hbenzimidazol-5-vl]- (CA INDEX NAME)

RN 860301-42-4 CAPLUS

CN 3-Pyridinecarboxamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]-1,2,5,6-tetrahydro-1-methyl- (CA INDEX NAME)

RN 860301-43-5 CAPLUS

CN 2-Butenamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]- (CA INDEX NAME)

RN 860301-44-6 CAPLUS

CN 2-Butynamide, N-[1-[6-[(3-methyl-2-pyridinyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]- (CA INDEX NAME)

ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

RN 860301-45-7 CAPLUS

CN 2-Propenamide, N-[1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-1Hbenzimidazol-6-yl]-3-(3-pyridinyl)-, (2E)- (CA INDEX NAME)

Double bond geometry as shown.

IT 629669-63-2P 629669-65-4P 860301-22-0P 860301-23-1P 860301-26-4P 860301-27-5P

860301-28-6P 860301-30-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazolylazines and related compds. as selective JAK3 kinase inhibitors)

RN 629669-63-2 CAPLUS

CN 1H-Benzimidazo1-5-amine, 1-[6-[[(1S)-1-phenylethy1]amino]-2-pyraziny1]-(CA INDEX NAME)

Absolute stereochemistry.

- RN 629669-65-4 CAPLUS
- CN 1H-Benzimidazol-6-amine, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-(CA INDEX NAME)

Absolute stereochemistry.

- RN 860301-22-0 CAPLUS
- CN 1H-Benzimidazol-6-amine, 1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-(CA INDEX NAME)

- MIDU
- RN 860301-23-1 CAPLUS
 CN 1H-Benzimidazo1-5-amine, 1-[6-[(1,1-dimethylethyl)amino]-2-pyrazinyl]-

(CA INDEX NAME)

RN 860301-26-4 CAPLUS

CN 1H-Benzimidazol-6-amine, 1-[6-[(cyclopropylmethyl)amino]-2-pyrazinyl]-(CA INDEX NAME)

RN 860301-27-5 CAPLUS

CN 1H-Benzimidazol-6-amine, 1-[6-[(1-methylethyl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 860301-28-6 CAPLUS

CN 1H-Benzimidazol-6-amine, 1-[6-(diethylamino)-2-pyrazinyl]- (CA INDEX NAME)

RN 860301-30-0 CAPLUS

CN 1H-Benzimidazol-6-amine, 1-[6-[(2-methylphenyl)amino]-2-pyrazinyl]- (CA INDEX NAME)

G1

AB Title compds. [I 1 of X1-X4 = C2, the others = CY; or 1 of X1-X4 = N, 1 = CZ, the others = CY; A = (substituted) pyridyl, pyrazinyl, pyrimidyl, triazinyl, pyridazinyl; Q = bond, halo, alkyl, O, S, SO, SO2, CO, CS; W = H, alkyl, aryl, heteroaryl, cycloalkyl, alkylateroaryl, cycloalkyl, substituted) anino, etc.; Y = H, halo, cyano, NO2, CP3, OH, alkyl, aminoalkyl, alkoxyalkyl, alkylheteroaryl, alkylthio, etc.; Z = (CH2)nCOCR9:CHR10, (CH2)nHRSEOC.tplbond.CR9, etc.; n = O-4; R8 = H, alkyl; R9, R10 = H, alkyl, alkylamino, alkylheteroaryl, etc.; R9R10 = atoms to form a 5-8 membered ringl, were prepared Thus, a mixture of 1-[6-(text-butylamino)pyrazin-2-yl]-lH-benzimidazol-5-amine (preparation given), Et3M, EDAC.HCl, 4-(1-pyrrolidino)pyridine, and acrylic acid were stirred together for 3 days in CH2Cl2 to give N-[1-[6-(text-butylamino)pyrazin-2-yl]-H-benzimidazol-5-yl]acrylamide.

N-[1-[6-(tert-butylamino)pyrazin-2-y1]-IH-benzimidazo1-5-y1]acrylamide. The latter gave ≥50% inhibition of JAK3 at 20 µM.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 10 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:523444 CAPLUS

DOCUMENT NUMBER: 143:60004

TITLE: Preparation of pyrazine derivatives as kinase

inhibitors

INVENTOR(S): Burns, Christopher John; Wilks, Andrew Frederick; Bu,

Xianvong

PATENT ASSIGNEE(S): Cytopia Research Ptv Ltd., Australia

SOURCE: PCT Int. Appl., 75 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

									APPLICATION NO.									
								WO 2004-AU1690										
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	AZ,	BA,	BB	, BG,	BR,	BW,	BY,	BZ,	CA,	CH,	
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	, EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	, JP,	KE,	KG,	KP,	KR,	KZ,	LC,	
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG	, MK,	MN,	MW,	MX,	MZ,	NA,	NI,	
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU	, sc,	SD,	SE,	SG,	SK,	SL,	SY,	
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US	, UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW	
	RW:	BW,	GH,	GM,	KE,	LS,	MW,	ΜZ,	NA,	SD	, SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	
											, BE,							
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS	, IT,	LT,	LU,	MC,	NL,	PL,	PT,	
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					TD,													
								AU 2004-294355										
									CA 2004-2545425									
EP									EP 2004-801112									
	R:										, IT,						PT,	
			SI,	LT,	FΙ,	RO,	CY,	TR,	ВG,	CZ	, EE,	HU,	PL,	SK,	IS			
	2423				A	20060816			GB 2006-11894					20041203				
GB	2423083				В		2007	0711										
CN	CN 1878767				A	20061213				CN 2004-80033482 BR 2004-17345				20041203				
BR	BR 2004017345				A	20070313				BR :	R 2004-17345				20041203			
	JP 2007513094																	
	IN 2006KN00616																	
									MX 2006-5983									
										US 2006-581412								
	KR 2006126981				A		20061211			KR 2006-710931								
PRIORIT	RIORITY APPLN. INFO.										2003-							
											2004-				A 2			
										WO :	2004-	AU16	90	1	W 2	0041	203	

OTHER SOURCE(S):

CASREACT 143:60004; MARPAT 143:60004 IT 629669-63-2P 629669-65-4P 629670-05-9P

853887-56-6P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrazine derivs. as kinase inhibitors) RN 629669-63-2 CAPLUS

CN 1H-Benzimidazol-5-amine, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 629669-65-4 CAPLUS

Absolute stereochemistry.

RN 629670-05-9 CAPLUS

CN Methanone, (4-methyl-1-piperazinyl)[1-[6-[[(1S)-1-phenylethyl]amino]-2pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

- RN 853887-56-6 CAPLUS
- CN 1H-Benzimidazole-6-methanol, 1-[6-[[1-(4-fluorophenyl)ethyl]amino]-2pyrazinyl]- (CA INDEX NAME)

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629669-29-0P 629669-36-9P 629669-40-5P
629669-50-7P 629669-54-1P 629669-60-9P
629669-72-3P 629669-73-4P 629669-95-0P
629670-08-2P 629670-17-3P 853887-50-0P
853887-51-1P 853887-52-2P 853887-53-3P
853887-54-4P 853887-55-5P 853887-57-7P
853887-58-8P 853887-59-9P 853887-60-2P
853887-61-3P 853887-62-4P 853887-63-5P
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853887-81-7P 853887-82-8P 853887-83-9P
853887-84-0P 853887-85-1P 853887-86-2P
853887-87-3P 853887-88-4P 853887-89-5P
853887-90-8P 853887-91-9P 853887-92-0P
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853887-93-1P 853887-94-2P 853887-95-3P
853887-96-4P 853887-97-5P 853887-98-6P
853887-99-7P 853888-00-3P 853888-02-5P
853888-03-6P 853888-04-7P 853888-05-8P
853888-06-9P 853888-07-0P 853888-08-1P
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853888-44-5P 853888-45-6P 853888-46-7P
853888-47-8P 853888-48-9P 853888-49-0P
853888-50-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
   (preparation of pyrazine derivs. as kinase inhibitors)
629669-29-0 CAPLUS
2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1R)-1-phenylethyl]- (CA
INDEX NAME)
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Absolute stereochemistry.

CN

- RN 629669-36-9 CAPLUS
- CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-(phenylmethyl)- (CA INDEX NAME)

Ph-CH2-NH

RN 629669-40-5 CAPLUS

CN 2-Pyrazinamine, 6-(1H-imidazo[4,5-b]pyridin-1-yl)-N-[(1S)-1-phenylethyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 629669-50-7 CAPLUS

CN Methanesulfonamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1Hbenzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-54-1 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-y1)-N-[(1S)-1-phenylethy1]- (CA INDEX NAME)

- RN 629669-60-9 CAPLUS
- CN Propanamide, 2,2-dimethyl-N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 629669-72-3 CAPLUS
- CN 2-Pyrazinamine, 6-[5-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1yl]-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

RN 629669-73-4 CAPLUS

CN Acetamide, N-[1-[6-[((1S)-1-phenylethyl]amino]-2-pyrazinyl]-1Hbenzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-95-0 CAPLUS

CN Benzenesulfonamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 629670-08-2 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[4-(4-morpholiny1)buty1]-1-[6-[[(1S)-1-phenylethy1]amino]-2-pyraziny1]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629670-17-3 CAPLUS

CN 2-Pyrazinamine, 6-(3H-imidazo[4,5-b]pyridin-3-yl)-N-[(1S)-1-phenylethyl]-(CA INDEX NAME)

- RN 853887-50-0 CAPLUS
- CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-(3-fluorophenyl)ethyl]amino]-2pyrazinyl]- (CA INDEX NAME)

- RN 853887-51-1 CAPLUS
- CN 1H-Benzimidazole-5-carbonitrile, 1-[6-(3,4-dihydro-2(1H)-isoquinolinyl)-2pyrazinyl]- (CA INDEX NAME)

- RN 853887-52-2 CAPLUS
- CN 1H-Benzimidazole-6-carbonitrile, 1-[6-(3,4-dihydro-2(1H)-isoquinolinyl)-2pyrazinyl]- (CA INDEX NAME)

RN 853887-53-3 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[(1S)-1,2,3,4-tetrahydro-1-naphthalenyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 853887-54-4 CAPLUS
- CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-1,2,3,4-tetrahydro-1naphthalenyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

- RN 853887-55-5 CAPLUS
- CN 1H-Benzimidazole-5-methanol, 1-[6-[[1-(4-fluorophenyl)ethyl]amino]-2-

pyrazinyl]- (CA INDEX NAME)

RN 853887-57-7 CAPLUS

CN 2-Pyrazinamine, N-[1-(4-fluorophenyl)ethyl]-6-[6-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]- (CA INDEX NAME)

RN 853887-58-8 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 1-[6-[[1-(3-fluorophenyl)ethyl]amino]-2pyrazinyl]- (CA INDEX NAME)

RN 853887-59-9 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 1-[6-[[1-(3-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853887-60-2 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 1-[6-[[(1S)-1-(3-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

- RN 853887-61-3 CAPLUS
- CN 1H-Benzimidazole-5-carboxamide, 1-[6-[[(1S)-1-(3-fluoropheny1)ethy1]amino]-2-pyrazinyl]- (CA INDEX NAME)

- RN 853887-62-4 CAPLUS
- CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1R)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

- RN 853887-63-5 CAPLUS
- CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-1-(3,4-difluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

- RN 853887-64-6 CAPLUS
- CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-1-(2-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853887-65-7 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-1-(3-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-66-8 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, N-[4-(4-morpholiny1)buty1]-1-[6-[[(1S)-1-phenylethy1]amino]-2-pyraziny1]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-67-9 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[1-(3-chlorophenyl)ethyl]- (CA INDEX NAME)

RN 853887-68-0 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[1-[4-(1H-imidazol-1-yl)phenyl]ethyl]- (CA INDEX NAME)

RN 853887-69-1 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-phenylpropyl]- (CA INDEX NAME)

- RN 853887-70-4 CAPLUS
- CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[1-(4-pyridinyl)ethyl]- (CA INDEX NAME)

- RN 853887-71-5 CAPLUS
- CN 1-Piperazinecarboxamide, 4-methyl-N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

- RN 853887-72-6 CAPLUS
- CN Benzamide, 4-(4-methyl-1-piperazinyl)methyl]-N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

- RN 853887-78-2 CAPLUS
- CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-(1-phenylbutyl)- (CA INDEX NAME)

Ph

RN 853887-79-3 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-(3-methoxyphenyl)ethyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 853887-80-6 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N,N-diethyl-1-[6-[[1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853887-81-7 CAPLUS

CN 2-Pyrazinamine, N-[1-(4-fluorophenyl)ethyl]-6-[6-(1-piperazinylmethyl)-1Hbenzimidazol-1-yl]- (CA INDEX NAME)

- RN 853887-82-8 CAPLUS
- CN 2-Pyrazinamine, N-[1-(4-fluorophenyl)ethyl]-6-[5-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]- (CA INDEX NAME)

- RN 853887-83-9 CAPLUS
- CN 1H-Benzimidazole-5-methanamine, N,N-diethyl-1-[6-[[1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

853887-84-0 CAPLUS CN

1H-Benzimidazole-5-methanamine, 1-[6-[[1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]-N,N-dimethyl- (CA INDEX NAME)

RN 853887-85-1 CAPLUS

CN 1,2-Ethanediamine, N'-[[1-[6-[[1-(4-fluorophenyl)ethyl]amino]pyrazinyl]-1Hbenzimidazol-5-yl]methyl]-N, N-dimethyl- (9CI) (CA INDEX NAME)

- RN 853887-86-2 CAPLUS
- CN 2-Pyrazinamine, N-[1-(4-fluorophenyl)ethyl]-6-(3H-imidazo[4,5-c]pyridin-3-yl)- (CA INDEX NAME)

- RN 853887-87-3 CAPLUS
- CN 2-Pyrazinamine, N-[1-(4-fluorophenyl)ethyl]-6-(1H-imidazo[4,5-c]pyridin-1-yl)- (CA INDEX NAME)

RN 853887-88-4 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[6-[[(1S)-1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-89-5 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[6-[[(1S)-1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853887-90-8 CAPLUS

CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-[6-(1-piperazinylmethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853887-91-9 CAPLUS

CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-[6-(4-morpholinylmethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

- RN 853887-92-0 CAPLUS
- CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-[6-(1-piperidinylmethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 853887-93-1 CAPLUS
- CN 4-Piperidinol, 1-[[1-[6-[[(1S)-1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]1H-benzimidazol-6-yl]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 853887-94-2 CAPLUS
- CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-[6-(1-pyrrolidinylmethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

RN 853887-95-3 CAPLUS

CN 2-Pyrazinamine, 6-[6-[[4-(2-aminoethyl)-1-piperazinyl]methyl]-1H-benzimidazol-1-yl]-N-[(1S)-1-(4-fluorophenyl)ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 853887-96-4 CAPLUS
- CN Ethanol, 2,2'-[[[1-[6-[[(1S)-1-(4-fluorophenyl)ethyl]amino]pyrazinyl]-1H-benzimidazol-6-yl]methyl]imino]bis- (9CI) (CA INDEX NAME)

- RN 853887-97-5 CAPLUS
- CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-2,3-dihydro-1H-inden-1-y1]amino]-2-pyraziny1]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 853887-98-6 CAPLUS
- CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[(1S)-2,3-dihydro-1H-inden-1-yl]amino]-2-pyrazinyl]- (CA INDEX NAME)

- RN 853887-99-7 CAPLUS
- CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-(1-naphthalenyl)ethyl]amino]-2pyrazinyl]- (CA INDEX NAME)

RN 853888-00-3 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-(1-naphthaleny1)ethy1]amino]-2-pyraziny1]- (CA INDEX NAME)

- RN 853888-02-5 CAPLUS
- CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-[6-(2H-tetrazol-5-yl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

RN 853888-03-6 CAPLUS

CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-[5-(2H-tetrazol-5-yl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853888-04-7 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-(4-fluorophenyl)ethyl]-(CA INDEX NAME)

- RN 853888-05-8 CAPLUS
- CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

- RN 853888-06-9 CAPLUS
- CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

- RN 853888-07-0 CAPLUS
- CN Urea, N-ethyl-N'-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

- RN 853888-08-1 CAPLUS
- CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-(3-pyridiny1)ethyl]amino]-2pyraziny1]- (CA INDEX NAME)

- RN 853888-09-2 CAPLUS
- CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-(3-pyridinyl)ethyl]amino]-2pyrazinyl]- (CA INDEX NAME)

- RN 853888-10-5 CAPLUS
- CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-(1H-indazol-1-yl)- (CA INDEX NAME)

- RN 853888-12-7 CAPLUS
- CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-(3,4-difluorophenyl)ethyl]amino]2-pyrazinyl]- (CA INDEX NAME)

RN 853888-13-8 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-(3,4-difluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-14-9 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-(3-fluorophenyl)ethyl]amino]-2pyrazinyl]- (CA INDEX NAME)

- RN 853888-15-0 CAPLUS
- CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-(2-fluorophenyl)ethyl]amino]-2pyrazinyl]- (CA INDEX NAME)

- RN 853888-16-1 CAPLUS
- CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-(3,5-difluorophenyl)ethyl]amino]2-pyrazinyl]- (CA INDEX NAME)

RN 853888-17-2 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-(3,5-difluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-18-3 CAPLUS

- RN 853888-19-4 CAPLUS
- CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-[3-(trifluoromethyl)phenyl]ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

- RN 853888-20-7 CAPLUS
- CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-(3-chloro-4-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-21-8 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-(3-chloro-4-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-22-9 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-[3-(trifluoromethoxy)phenyl]ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-23-0 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-[3-(trifluoromethoxy)phenyl]ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-24-1 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[(2,3-dihydro-1H-inden-2-y1)amino]-2pyrazinyl]- (CA INDEX NAME)

- RN 853888-25-2 CAPLUS
- CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[(2,3-dihydro-1H-inden-2-yl)amino]-2pyrazinyl]- (CA INDEX NAME)

- RN 853888-26-3 CAPLUS
- CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-1-phenylpropyl]amino]-2pyrazinyl]- (CA INDEX NAME)

- RN 853888-27-4 CAPLUS
- CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[(1S)-1-phenylpropy1]amino]-2pyraziny1]- (CA INDEX NAME)

- RN 853888-28-5 CAPLUS
- CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-(3H-imidazo[4,5-b]pyridin-3-yl)- (CA INDEX NAME)

- RN 853888-29-6 CAPLUS
- CN 2-Pyrazinamine, N-[(1S)-1-(4-fluorophenyl)ethyl]-6-(1H-imidazo[4,5b]pyridin-1-yl)- (CA INDEX NAME)

RN 853888-30-9 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-1-(4-methylphenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853888-31-0 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[(1S)-1-(4-methylphenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853888-32-1 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[methy1](1S)-1-phenylethy1]amino]-2pyraziny1]- (CA INDEX NAME)

RN 853888-33-2 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[methyl[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853888-34-3 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[5-methyl-6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

- RN 853888-35-4 CAPLUS
- CN 1H-Benzimidazole-6-carbonitrile, 1-[5-methyl-6-[[(1S)-1-phenylethyl]amino]2-pyrazinyl]- (CA INDEX NAME)

- RN 853888-37-6 CAPLUS
- CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[(2,3-dihydro-3-methyl-1H-inden-1-yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

- RN 853888-38-7 CAPLUS
- CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[(2,3-dihydro-3-methyl-1H-inden-1yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-39-8 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[(2,3-dihydro-4-methoxy-1H-inden-1-yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-40-1 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[(2,3-dihydro-4-methoxy-1H-inden-1y1)amino]-2-pyraziny1]- (CA INDEX NAME)

RN 853888-41-2 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[(2,3-dihydro-5-methoxy-1H-inden-1-

y1)amino]-2-pyraziny1]- (CA INDEX NAME)

RN 853888-42-3 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[(2,3-dihydro-5-methoxy-1H-inden-1y1)amino]-2-pyraziny1]- (CA INDEX NAME)

RN 853888-43-4 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[(2,3-dihydro-6-hydroxy-1H-inden-1yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-44-5 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[(5-fluoro-2,3-dihydro-1H-inden-1yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-45-6 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[(5-fluoro-2,3-dihydro-1H-inden-1-yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-46-7 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[(5-bromo-2,3-dihydro-1H-inden-1-yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-47-8 CAPLUS

N 1H-Benzimidazole-5-carbonitrile, 1-[6-[(5-bromo-2,3-dihydro-1H-inden-1-yl)amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 853888-48-9 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[(1S)-1-(3-fluorophenyl)ethyl]amino]-5-methyl-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 853888-49-0 CAPLUS

CN 1H-Benzimidazole-6-carbonitrile, 1-[6-[[1-(2-pyridiny1)ethy1]amino]-2pyraziny1]- (CA INDEX NAME)

RN 853888-50-3 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-[6-[[1-(2-pyridinyl)ethyl]amino]-2-

pyrazinyl]- (CA INDEX NAME)

- IT 853888-56-9P
 - RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
- (preparation of pyrazine derivs. as kinase inhibitors) RN 853888-56-9 CAPLUS
- CN 1H-Benzimidazole-6-methanol, 1-[6-[[1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]-, 6-methanesulfonate (CA INDEX NAME)

GΙ

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Title compds. I [A = (un)substituted-aryl, -heteroaryl; W, X, Y and Z = (un)substituted carbon, or one of W, X, Y and Z is nitrogen and the rest (un)substituted carbon; Q = bond, CH2, alkyl; Rl = H, alkyl, cycloalkyl, etc.; R2 = H, (un)substituted-alkyl, -alkenyl, etc.; R3 = 0-2 substituents

selected from H, alkyl, NR5R6; R4 independently = H, halo, alkyl, etc.; R5 and R6 independently = H, alkyll and their pharmaceutically acceptable salts, are prepared and disclosed as kinase inhibitors. Thus, e.g., II was prepared by coupling of (6-chloro-pyrazin-2yl)-(1-benzyl)-amine with benzimidazole. The activity of I was evaluated and it was revealed that selected compds of the invention displayed an inhibition capacity of 50% or greater at a concentration of 20 μM . I as inhibitors of kinases should prove useful in the treatment of diseases such as, but not limited to, rheumatic, viral and cardiovascular diseases. Pharmaceutical compns. comprising I are disclosed.

REFERENCE COUNT:

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 11 OF 11 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:951019 CAPLUS

DOCUMENT NUMBER: 140:16748

TITLE: Preparation of imidazolylpyrazines as protein kinase inhibitors for treatment of receptor type tyrosine

kinase-related diseases

Wilks, Andrew Fredrick; Bu, Xianvong; Burns, INVENTOR(S):

Christopher John

Cytopia Pty. Ltd., Australia PATENT ASSIGNEE(S):

SOURCE: PCT Int. Appl., 106 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.					KIND DATE				APPLICATION NO.					DATE				
WO	WO 2003099811							1204	WO 2003-AU628									
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		PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG	, SK,	SL,	TJ,	TM,	TN,	TR,	TT,	
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OTHER SOURCE(S):

MARPAT 140:16748

⁶²⁹⁶⁶⁹⁻²⁹⁻⁰P, 6-(1H-Benzimidazol-1-yl)-N-((1R)-1-phenylethyl)pyrazin-2-amine 629669-31-4P,

⁶⁻⁽¹H-Benzimidazol-1-y1)-N-[(1S)-1-(4-methoxypheny1)ethy1]pyrazin-2-amine 629669-33-6P, 6-(1H-Benzimidazol-1-yl)-N-[(1S)-1-(4bromopheny1)ethy1]pyrazin-2-amine 629669-35-8P,

^{1-[6-[[(1}S)-1-Phenylethyl]amino]pyrazin-2-yl]-1H-benzimidazole-6-

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carboxamide 629669-36-9P,
6-(1H-Benzimidazol-1-vl)-N-benzvlpvrazin-2-amine 629669-37-0P.
1-[6-[[(1S)-1-Phenylethyl]amino]pyrazin-2-yl]-1H-benzimidazole-5-
carboxamide 629669-38-1P.
6-(1H-Benzimidazol-1-vl)-N-(4-fluorobenzvl)pyrazin-2-amine
629669-39-2P 629669-40-5P 629669-41-6P
629669-43-8P, N-[1-[6-[((1S)-1-Phenvlethv1]amino]pvrazin-2-v1]-1H-
benzimidazol-6-vllcvclopropanecarboxamide 629669-44-9P.
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
vl]nicotinamide 629669-45-0P.
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
vl]cvclopropanecarboxamide 629669-46-1P,
6 - [6 - (4, 5 - Dihydro - 1, 3 - oxazo1 - 2 - v1) - 1H - benzimidazo1 - 1 - v1] - N - [(1S) - 1 - v2] - N - [(1S) -
phenylethyl]pyrazin-2-amine 629669-48-3P,
1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-N-(2-hydroxyethyl)-1H-
benzimidazole-6-carboxamide 629669-49-4P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-6-
vl]methanesulfonamide 629669-50-7P,
\tilde{N}-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
vllmethanesulfonamide 629669-51-8P.
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
vllisonicotinamide 629669-53-0P.
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-6-
vl]isonicotinamide 629669-54-1P,
6-(1H-Benzimidazol-1-vl)-N-((1S)-1-phenylethyl)pyrazin-2-amine
629669-55-2P, 6-[5-(4,5-Dihydro-1,3-oxazol-2-v1)-1H-benzimidazol-1-
yl]-N-((1S)-1-phenylethyl)pyrazin-2-amine 629669-56-3P,
1-[6-[((1S)-1-Phenylethyl)amino|pyrazin-2-yl]-N-(2-hydroxyethyl)-1H-
benzimidazole-5-carboxamide 629669-57-4P.
6-(5-Methyl-1H-benzimidazol-1-yl)-N-((1S)-1-phenylethyl)pyrazin-2-amine
629669-58-5P, N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-
benzimidazol-6-vllnicotinamide 629669-59-6P,
N-Methyl-1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazole-5-
carboxamide 629669-60-9P.
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-6-yl]-2,2-
dimethylpropanamide 629669-61-0P,
N-Methyl-1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazole-6-
carboxamide 629669-62-1P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-v1]-1H-benzimidazol-5-v1]-2,2-
dimethylpropanamide 629669-63-2P,
1-[6-[((IS)-1-Phenylethyl)aminolpyrazin-2-yl]-1H-benzimidazol-5-amine
629669-64-3P, 2-Methoxy-N-[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-
2-y1]-1H-benzimidazol-5-y1]acetamide 629669-65-4P,
1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-6-amine
629669-66-5P, 2-Methoxy-N-[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-
2-vll-1H-benzimidazol-6-vllacetamide 629669-67-6P,
N-Benzyl-1-[6-[((1S)-1-phenylethyl)amino|pyrazin-2-yl]-1H-benzimidazole-5-
carboxamide 629669-68-7P.
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
vl]pvrazine-2-carboxamide 629669-69-8P,
1-[6-[((1S)-1-Phenylethyl)amino|pyrazin-2-yl]-N-phenyl-1H-benzimidazole-5-
carboxamide 629669-70-1P.
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-6-
vl]pyrazine-2-carboxamide 629669-71-2P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-6-
vl]acetamide 629669-72-3P,
6-[5-[(4-Methylpiperazin-1-y1)methyl]-1H-benzimidazo1-1-y1]-N-((1S)-1-
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phenylethyl)pyrazin-2-amine 629669-73-4P,
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
vllacetamide 629669-74-5P.
[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
vllmethanol 629669-75-6P.
N-[1-[6-]((1S)-1-Phenylethyl)amino[pyrazin-2-yl]-1H-benzimidazol-6-
vllbenzamide 629669-76-7P,
[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-6-
vllmethanol 629669-77-8P.
N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
yl]benzamide 629669-78-9P,
1-[6-[((1S)-1-Phenylethyl)amino[pyrazin-2-yl]-N-[2-(dimethylamino)ethyl]-
1H-benzimidazole-5-carboxamide 629669-79-0P,
1-[6-[((1S)-1-Phenylethy1)amino]pyrazin-2-y1]-N-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyridin-3-ylmethy1)-1H-(pyr
benzimidazol-5-amine 629669-80-3P, tert-Butyl
(2S)-2-[[[1-[6-[((1S)-1-phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
yl]amino]carbonyl]pyrrolidine-1-carboxylate 629669-81-4P,
6-(3H-Imidazo[4,5-c]pyridin-3-yl)-N-[(1s)-1-phenylethyl]pyrazin-2-amine
629669-82-5P, 6-(1H-Benzimidazol-1-v1)-N-(1-(4-
fluorophenvl)ethvl|pvrazin-2-amine 629669-83-6P.
6-(1H-Imidazo[4,5-c]pyridin-1-v1)-N-[(1S)-1-phenylethyl]pyrazin-2-amine
629669-84-7P 629669-85-8P.
(2S)-N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazol-5-
vl]pyrrolidine-2-carboxamide 629669-86-9P,
\tilde{N} = (\tilde{1}S) - 1 - Phenylethyl) - 6 - (5 - pyridin - 4 - yl - 1H - benzimidazol - 1 - yl) pyrazin - 2 -
amine 629669-87-0P, N-((1S)-1-Phenylethyl)-6-(5-pyridin-3-yl-1H-
benzimidazol-1-vl)pvrazin-2-amine 629669-88-1P.
6-(5-Bromo-1H-benzimidazol-1-yl)-N-((1S)-1-phenylethyl)pyrazin-2-amine
629669-89-2P, N-[3-(1H-Imidazol-1-yl)propyl]-1-[6-[((1S)-1-
phenylethyl)amino]pyrazin-2-yl]-1H-benzimidazole-6-carboxamide
629669-90-5P 629669-91-6P 629669-92-7P
629669-93-8P, 6-(1H-Benzimidazol-1-v1)-N-((1S)-1-pvridin-3-
vlethvl)pvrazin-2-amine 629669-94-9P,
6-(1H-Benzimidazol-1-yl)-N-[(1S)-1-(1,1'-biphenyl-4-yl)ethyl]pyrazin-2-
amine 629669-95-0P, N-[1-[6-[((1S)-1-Phenylethyl)amino]pyrazin-2-
yl]-1H-benzimidazol-5-yl]benzenesulfonamide 629670-01-5P
629670-03-7P 629670-04-8P 629670-05-9P
629670-06-0P 629670-07-1P 629670-08-2P
629670-09-3P 629670-10-6P 629670-11-7P
629670-12-8P 629670-13-9P 629670-14-0P
629670-17-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
     (drug candidate; preparation of imidazolylpyrazines as protein kinase
     inhibitors for treatment of receptor type tyrosine kinase-related
     diseases)
629669-29-0 CAPLUS
2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1R)-1-phenylethyl]- (CA
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INDEX NAME)
Absolute stereochemistry.

RN

RN 629669-31-4 CAPLUS

Absolute stereochemistry.

RN 629669-33-6 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-(4-bromophenyl)ethyl](CA INDEX NAME)

- RN 629669-35-8 CAPLUS
- CN 1H-Benzimidazole-6-carboxamide, 1-[6-[[(1S)-1-phenylethy1]amino]-2pyraziny1]- (CA INDEX NAME)

- RN 629669-36-9 CAPLUS
- CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-(phenylmethyl)- (CA INDEX NAME)

- RN 629669-37-0 CAPLUS
 CN 1H-Benzimidazole-5-carboxamide, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 629669-38-1 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-y1)-N-[(4-fluorophenyl)methyl]- (CA INDEX NAME)

RN 629669-39-2 CAPLUS

CN Methanone, 4-morpholinyl[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 629669-40-5 CAPLUS

CN 2-Pyrazinamine, 6-(1H-imidazo[4,5-b]pyridin-1-yl)-N-[(1S)-1-phenylethyl](CA INDEX NAME)

Absolute stereochemistry.

RN 629669-41-6 CAPLUS

CN Methanone, 4-morpholinyl[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

- RN 629669-43-8 CAPLUS
- CN Cyclopropanecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 629669-44-9 CAPLUS
- CN 3-Pyridinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 629669-45-0 CAPLUS
- CN Cyclopropanecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 629669-46-1 CAPLUS

CN 2-Pyrazinamine, 6-[6-(4,5-dihydro-2-oxazolyl)-1H-benzimidazol-1-yl]-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-48-3 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, N-(2-hydroxyethy1)-1-[6-[[(1S)-1-phenylethy1]amino]-2-pyraziny1]- (CA INDEX NAME)

- RN 629669-49-4 CAPLUS
- CN Methanesulfonamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1Hbenzimidazo1-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 629669-50-7 CAPLUS
- CN Methanesulfonamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1Hbenzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 629669-51-8 CAPLUS
- CN 4-Pyridinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

RN 629669-53-0 CAPLUS

CN 4-Pyridinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]pyrazinyl]-1H-benzimidazol-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-54-1 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

RN 629669-55-2 CAPLUS
CN 2-Pyrazinmaine, 6-[5-(4,5-dihydro-2-oxazolyl)-1H-benzimidazol-1-yl]-N[[(15)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-56-3 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-(2-hydroxyethyl)-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 629669-57-4 CAPLUS

CN 2-Pyrazinamine, 6-(5-methyl-1H-benzimidazol-1-yl)-N-[(1S)-1-phenylethyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 629669-58-5 CAPLUS

ON 3-Pyridinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

- RN 629669-59-6 CAPLUS
- CN 1H-Benzimidazole-5-carboxamide, N-methyl-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

- 629669-60-9 CAPLUS Propanamide, 2,2-dimethyl-N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-CN 1H-benzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 629669-61-0 CAPLUS
- 1H-Benzimidazole-6-carboxamide, N-methyl-1-[6-[[(1S)-1-phenylethyl]amino]-CN 2-pyrazinyl]- (CA INDEX NAME)

- RN 629669-62-1 CAPLUS
- CN Propanamide, 2,2-dimethyl-N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

- RN 629669-63-2 CAPLUS

- RN 629669-64-3 CAPLUS
- CN Acetamide, 2-methoxy-N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

- RN 629669-65-4 CAPLUS

RN 629669-66-5 CAPLUS

CN Acetamide, 2-methoxy-N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-67-6 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-N-(phenylmethyl)- (CA INDEX NAME)

- RN 629669-68-7 CAPLUS
- CN 2-Pyrazinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

- RN 629669-69-8 CAPLUS
- CN 1H-Benzimidazole-5-carboxamide, N-phenyl-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 629669-70-1 CAPLUS

CN 2-Pyrazinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-71-2 CAPLUS

CN Acetamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

- RN 629669-72-3 CAPLUS
- CN 2-Pyrazinamine, 6-[5-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]-N-[(1S)-1-phenylethyl]- (CA INDEX NAME)

- RN 629669-73-4 CAPLUS
- CN Acetamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1Hbenzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 629669-74-5 CAPLUS
- CN 1H-Benzimidazole-5-methanol, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl](CA INDEX NAME)

RN 629669-75-6 CAPLUS
CN Benzamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-76-7 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

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- RN 629669-77-8 CAPLUS
- CN Benzamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1Hbenzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 629669-78-9 CAPLUS
- CN 1H-Benzimidazole-5-carboxamide, N-[2-(dimethylamino)ethyl]-1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 629669-79-0 CAPLUS
- CN 1H-Benzimidazol-5-amine, 1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-N-(3-pyridinylmethyl)- (CA INDEX NAME)

RN 629669-80-3 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-IH-benzimidazol-5-yl]amino]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-81-4 CAPLUS

CN 2-Pyrazinamine, 6-(3H-imidazo[4,5-c]pyridin-3-yl)-N-[(1S)-1-phenylethyl]-(CA INDEX NAME)

- RN 629669-82-5 CAPLUS
- CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[1-(4-fluorophenyl)ethyl]- (CA INDEX NAME)

- RN 629669-83-6 CAPLUS
- CN 2-Pyrazinamine, 6-(1H-imidazo[4,5-c]pyridin-1-yl)-N-[(1S)-1-phenylethyl](CA INDEX NAME)

- RN 629669-84-7 CAPLUS
- CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-[4-(3-pyridinyl)phenyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 629669-85-8 CAPLUS
- CN 2-Pyrrolidinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]-, (2S)- (CA INDEX NAME)

RN 629669-86-9 CAPLUS

CN 2-Pyrazinamine, N-[(1S)-1-phenylethyl]-6-[5-(4-pyridinyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-87-0 CAPLUS

CN 2-Pyrazinamine, N-[(1S)-1-phenylethyl]-6-[5-(3-pyridinyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)

629669-88-1 CAPLUS

CN 2-Pyrazinamine, 6-(5-bromo-1H-benzimidazol-1-yl)-N-[(1S)-1-phenylethyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 629669-89-2 CAPLUS

 $1 \\ \\ H-Benzimidazole-6-carboxamide, \\ N-[3-(1 \\ \\ H-imidazol-1-yl)propyl]-1-[6-[[(1 \\ S)-1]] \\ \\ H-Benzimidazole-6-carboxamide, \\ N-[3-(1 \\ \\ H-imidazol-1-yl)propyl]-1-[6-[[(1 \\ S)-1]] \\ \\ H-Benzimidazole-6-carboxamide, \\ H-Benz$ CN 1-phenylethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

RN 629669-90-5 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, N-[3-(4-morpholiny1)propy1]-1-[6-[[(1S)-1-phenylethy1]amino]-2-pyraziny1]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-91-6 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[3-(4-morpholiny1)propy1]-1-[6-[[(1S)-1-phenylethy1]amino]-2-pyraziny1]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-92-7 CAPLUS

CN 3-Piperidinecarboxamide, N-[1-[6-[[(1S)-1-phenylethy1]amino]-2-pyraziny1]-1H-benzimidazol-5-y1]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629669-93-8 CAPLUS CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-(3-pyridinyl)ethyl]-(CA INDEX NAME)

Absolute stereochemistry.

RN 629669-94-9 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1S)-1-[1,1'-biphenyl]-4ylethyl]- (CA INDEX NAME)

RN 629669-95-0 CAPLUS
CN Benzenesulfonamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-ylj- (CA INDEX NAME)

- RN 629670-01-5 CAPLUS
- CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[4-(4-morpholinyl)phenyl]- (CA INDEX NAME)

- RN 629670-03-7 CAPLUS
- CN 2-Pyrazinamine, 6-(2-methyl-1H-benzimidazol-1-yl)-N-[(1S)-1-phenylethyl]-(CA INDEX NAME)

Absolute stereochemistry.

- RN 629670-04-8 CAPLUS
- CN Methanone, (4-methyl-1-piperazinyl)[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]- (CA INDEX NAME)

RN 629670-05-9 CAPLUS

CN Methanone, (4-methyl-1-piperazinyl)[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629670-06-0 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, N-[3-(1H-imidazol-1-y1)propy1]-1-[6-[[(1S)1-phenylethy1]amino]-2-pyraziny1]- (CA INDEX NAME)

- RN 629670-07-1 CAPLUS

Absolute stereochemistry.

- RN 629670-08-2 CAPLUS
- CN 1H-Benzimidazole-5-carboxamide, N-[4-(4-morpholiny1)buty1]-1-[6-[[(1S)-1-phenylethy1]amino]-2-pyraziny1]- (CA INDEX NAME)

- RN 629670-09-3 CAPLUS
- CN 2-Pyrazinamine, 6-[6-[(4-methyl-1-piperazinyl)methyl]-1H-benzimidazol-1-yl]-N-[(1R)-1-phenylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

- RN 629670-10-6 CAPLUS
- CN 3-Piperidinecarboxamide, N-[1-[6-[[(1S)-1-phenylethyl]amino]-2-pyrazinyl]-1H-benzimidazol-5-yl]-, (3R)- (CA INDEX NAME)

RN 629670-11-7 CAPLUS

CN 2-Pyrazinamine, 6-(1H-benzimidazol-1-yl)-N-[(1R)-1-[1,1'-biphenyl]-4ylethyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629670-12-8 CAPLUS

CN 1,2-Ethanediamine, N1-[[1-[6-[[(1R)-1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]-1H-benzimidazol-6-yl]methyl]-N1,N2-dimethyl- (CA INDEX NAME)

RN 629670-13-9 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N,N-diethyl-1-[6-[[(1R)-1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]- (CA INDEX NAME)

Absolute stereochemistry.

RN 629670-14-0 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 1-[6-[[(1R)-1-(4-fluorophenyl)ethyl]amino]-2-pyrazinyl]-N-[4-(4-morpholinyl)butyl]- (CA INDEX NAME)

629670-17-3 CAPLUS

2-Pyrazinamine, 6-(3H-imidazo[4,5-b]pyridin-3-y1)-N-[(1S)-1-phenylethy1]-CN (CA INDEX NAME)

Absolute stereochemistry.

GΙ

AB Title compds. I [D = (un)substituted heterocyclic ring, e.g., benzimidazole, indazole, imidazole; R1 = H, alkyl, cycloalkyl; Q = bond CH2, alkyl; A = (un) substituted aryl, hetaryl (sic); W = H, alkyl, alkenyl, etc.] and their pharmaceutically acceptable salts were prepared For example, coupling of chloropyrazine II, e.g., prepared from 4-fluorobenzylamine and 2,6-dichloropyrazine, and imidazole afforded claimed imidazolylpyrazine III in 65% yield. In inhibition studies of the Tel-Jak3 cell line, 23-examples of compds. I exhibited a capacity to inhibit 50% of cell growth at a concentration of 50 μM . Compds. I are useful for the treatment of receptor type tyrosine kinase-related diseases. REFERENCE COUNT: THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

```
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING
 NEWS 13 FEB 06 Patent sequence location (PSL) data added to USGENE
 NEWS 14 FEB 10 COMPENDEX reloaded and enhanced
 NEWS 15 FEB 11 WTEXTILES reloaded and enhanced
NEWS 16 FEB 19 New patent-examiner citations in 300,000 CA/CAplus
                 patent records provide insights into related prior
                 art
NEWS 17 FEB 19
                Increase the precision of your patent queries -- use
                 terms from the IPC Thesaurus, Version 2009.01
NEWS 18 FEB 23
                Several formats for image display and print options
                 discontinued in USPATFULL and USPAT2
NEWS 19 FEB 23 MEDLINE now offers more precise author group fields
                 and 2009 MeSH terms
NEWS 20 FEB 23
                 TOXCENTER updates mirror those of MEDLINE - more
                 precise author group fields and 2009 MeSH terms
NEWS 21 FEB 23
                 Three million new patent records blast AEROSPACE into
                 STN patent clusters
NEWS 22 FEB 25
                 USGENE enhanced with patent family and legal status
                 display data from INPADOCDB
NEWS 23 MAR 06
                 INPADOCDB and INPAFAMDB enhanced with new display
                 formats
NEWS 24 MAR 11 EPFULL backfile enhanced with additional full-text
                 applications and grants
 NEWS 25 MAR 11 ESBIOBASE reloaded and enhanced
 NEWS 26 MAR 20 CAS databases on STN enhanced with new super role
                 for nanomaterial substances
NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3.
             AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
NEWS HOURS
              STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN
              Welcome Banner and News Items
NEWS IPC8
              For general information regarding STN implementation of IPC 8
Enter NEWS followed by the item number or name to see news on that
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FILE 'HOME' ENTERED AT 14:08:56 ON 21 MAR 2009
=> fil reg
COST IN U.S. DOLLARS
                                               SINCE FILE
                                                              TOTAL
                                                   ENTRY
                                                            SESSION
FULL ESTIMATED COST
                                                     0.22
                                                               0.22
FILE 'REGISTRY' ENTERED AT 14:09:07 ON 21 MAR 2009
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STRUCTURE FILE UPDATES: 20 MAR 2009 HIGHEST RN 1124448-78-7
DICTIONARY FILE UPDATES: 20 MAR 2009 HIGHEST RN 1124448-78-7

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10581412.str

ring nodes :

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1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
ring/chain nodes :
18
chain bonds :
9-10 14-18
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15
exact/norm bonds :
2-7 3-9 7-8 8-9 9-10
exact bonds :
14-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :
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G1:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:Atom

L1 STRUCTURE UPLOADED

=> d L1 HAS NO ANSWERS L1 STR

Structure attributes must be viewed using STN Express query preparation.

=> s 11 sam

G1 C, N

SAMPLE SEARCH INITIATED 14:09:32 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 183 TO ITERATE

100.0% PROCESSED 183 ITERATIONS

G1

19 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 2849 TO 4471
PROJECTED ANSWERS: 119 TO 641

L2 19 SEA SSS SAM L1

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=> del 11-
DELETE L1-L2? (Y)/N:v
Uploading C:\Program Files\Stnexp\Queries\10581412.str
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15
ring/chain nodes :
18
chain bonds :
9-10 14-18
ring bonds :
1 - 2 ^{^{\top}} 1 - 6 \quad 2 - 3 \quad 2 - 7 \quad 3 - 4 \quad 3 - 9 \quad 4 - 5 \quad 5 - 6 \quad 7 - 8 \quad 8 - 9 \quad 10 - 11 \quad 10 - 15 \quad 11 - 12 \quad 12 - 13 \quad 13 - 14
14-15
exact/norm bonds :
2-7 3-9 7-8 8-9 9-10
exact bonds :
14-18
normalized bonds :
1-2 1-6 2-3 3-4 4-5 5-6 10-11 10-15 11-12 12-13 13-14 14-15
isolated ring systems :
containing 1 : 10 :
G1:C, N
Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 18:Atom
L1 STRUCTURE UPLOADED
=> d
L1 HAS NO ANSWERS
                 STR
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Structure attributes must be viewed using STN Express query preparation.

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100.0% PROCESSED 0 ITERATIONS 0 ANSWERS SEARCH TIME: 00.00.01

L2 0 SEA SSS SAM L1

=> s 11 ful

FULL SCREEN SEARCH COMPLETED - 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 54 TO ITERATE

100.0% PROCESSED 54 ITERATIONS 10 ANSWERS

SEARCH TIME: 00.00.01

L3 10 SEA SSS FUL L1

=> fil cpal

'CPAL' IS NOT A VALID FILE NAME SESSION CONTINUES IN FILE 'REGISTRY'

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=> fil capl COST IN U.S. DOLLARS

 COST IN U.S. DOLLARS
 SINCE FILE
 TOTAL

 FULL ESTIMATED COST
 186.58
 186.58

FILE 'CAPLUS' ENTERED AT 14:10:28 ON 21 MAR 2009
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Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13 L4 3 L3

=> d 14 ibib hitstr abs 1-3

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:638866 CAPLUS

DOCUMENT NUMBER: 143:153403

TITLE: Preparation of benzimidazolylazines and related

compounds as selective JAK3 kinase inhibitors

INVENTOR(S): Styles, Michelle Leanne; Zeng, Jun; Treutlein, Herbert Rudolf; Wilks, Andrew Frederick; Kling, Marcel Robert;

Bu, Xianvong; Burns, Christopher John PATENT ASSIGNEE(S): Cytopia Research Pty. Ltd., Australia

SOURCE: PCT Int. Appl., 85 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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		A1 20050721																		
	W:	AE, AG, AL			AM,	AT,	AU,	AZ,	BA,	BB	, BG,	BR,	BW,	BY,	BZ,	CA,	CH,			
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		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	, J₽,	KE,	KG,	KP,	KR,	KZ,	LC,			
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG	, MK,	MN,	MW,	MX,	MZ,	NA,	NI,			
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU	, SC,	SD,	SE,	SG,	SK,	SL,	SY,			
		TJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US	, UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW			
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	MR, NE, SN, TD, TG																			
AU	AU 2005203919						2005	0721	AU 2005-203919						20050112					
CA	CA 2545427					A1 20050721					CA 2005-2545427									
EP	1704	145			A1 20060927				EP 2005-700054											
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										, EE,										
GB 2424882						A 20061011 GB 2006-12225 2										0050	112			
	GB 2424882																			
CN	CN 1906190						2007	0131		CN :	2005-		20050112							
BR	BR 2005006817 GB 2432834						2007	0529		BR :	2005-		20050112 20050112							
GB	GB 2432834					A 20070606					2007-		20050112							
JP	JP 2007517807					T 20070705					JP 2006-548036									
IN	IN 2006KN00845					A 20070413					2006-	2	20060406							
KR	KR 2006126983					A 20061211					KR 2006-711057						20060605			
	2006								MX 2006-7640						20060630					
	2008				A1	2008	0828													
PRIORIT	Y APP	LN.	INFO	. :				AU 2004-900103												
									GB 2006-12225											
											2005-				W 2	0050	112			
OTHER S		CASREACT 143:153403; MARPAT 143:153403																		

860300-93-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(claimed compound; preparation of benzimidazolylazines and related compds.

as selective JAK3 kinase inhibitors) RN 860300-93-2 CAPLUS

CN 2-Propenamide, N-[1-(6-chloro-2-pyraziny1)-1H-benzimidazo1-6-y1]- (CA INDEX NAME)

$$\mathbf{H}_{2}\mathbf{C} = \mathbf{C}\mathbf{H} - \mathbf{C} - \mathbf{N}\mathbf{H}$$

IT 860301-24-2P 860301-25-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazolylazines and related compds. as selective JAK3 kinase inhibitors)

- RN 860301-24-2 CAPLUS
- CN 1H-Benzimidazol-6-amine, 1-(6-chloro-2-pyrazinyl)- (CA INDEX NAME)

- RN 860301-25-3 CAPLUS
- CN 1H-Benzimidazol-5-amine, 1-(6-chloro-2-pyrazinyl)- (CA INDEX NAME)

GI

$$\begin{array}{c|c} N & X^4 \\ & X^1 & X^3 \\ & X^2 & X \end{array}$$

AB Title compds. [I, 1 of X1-X4 = C2, the others = CY; or 1 of X1-X4 = N, 1 = C2, the others = CY; A = (substituted) pyridyl, pyrazinyl, pyraindyl, triazinyl, pyridazinyl; Q = bond, halo, alkyl, O, S, SO, SO2, CO, CS; W = H, alkyl, aryl, heteroaryl, cycloalkyl, alkylaryl, alkylheteroaryl, cycloalkyl, substituted) amino, etc.; Y = H, halo, cyano, NO2, CP3, OH, alkyl, aminoalkyl, alkoxyalkyl, alkylheteroaryl, alkylthio, etc.; Z = (CH2)nCCCR9:CHRID, (CH2)nNR8COC.tylbond.CR9, etc.; n = 0-4; R8 = H, alkyl; R9, R10 = H, alkyl, alkylamino, alkylheteroaryl, etc.; R9R10 = atoms to form a 5-8 membered ringl, were prepared Thus, a mixture of 1-[6-(tert-butylamino)pyrazin-2-yl]-1H-benzimidazol-5-amine (preparation given), Et3N, EDAC.HCl, 4-(1-pyrrolidino)pyridine, and acrylic acid were stirred together for 3 days in CH2Cl2 to give N-[1-[6-(tert-butylamino)pyrazin-2-yl]-1H-benzimidazol-5-yl]acrylamide. The latter gave \$50\footnote{\text{ hinhibition of JAK3} at 20 \mu.}

The latter gave 250% inhibition of JAK3 at 20 µM.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:523444 CAPLUS

DOCUMENT NUMBER: 143:60004

TITLE: Preparation of pyrazine derivatives as kinase

inhibitors

INVENTOR(S): Burns, Christopher John; Wilks, Andrew Frederick; Bu,

Xianvong

PATENT ASSIGNEE(S): Cytopia Research Pty Ltd., Australia

SOURCE: PCT Int. Appl., 75 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA:	KIND DATE					APF	LICAT		DATE									
WO	2005054230				A1		2005		WO	2004-		20041203						
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												20041203						
EP	P 1689739														20041203 NL, SE, MC, PT,			
	R:															MC,	PT,	
											, EE,							
GB 2423083 GB 2423083				A	2006	0816		GB	2006-	1189	20041203							
					В		2007	0711										
CN 1878767				A							20041203							
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IN 2006KN00616 MX 2006005983						2007		IN 2006-KN616 MX 2006-5983					20060316					
	2007						2007				2006-					0060		
	2006				A		2006	1211			2006-					0060		
IORIT:	I APP	LIV.	TME.O	. :							2003-					0031		
											2004-					0040 0041		
										WO	2004-	AU T P	90		n z	0041	203	

OTHER SOURCE(S):

CASREACT 143:60004; MARPAT 143:60004 IT 853888-36-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of pyrazine derivs. as kinase inhibitors)

853888-36-5 CAPLUS

1H-Benzimidazole-6-carbonitrile, 1-(6-chloro-2-pyraziny1)- (CA INDEX NAME)

10581412

IT 853888-52-5P 853888-53-6P 853888-54-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of pyrazine derivs. as kinase inhibitors)

RN 853888-52-5 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 1-(6-chloro-2-pyrazinyl)- (CA INDEX NAME)

$$H_2N-C$$

$$N$$

$$N$$

$$C1$$

RN 853888-53-6 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 1-(6-chloro-2-pyrazinyl)- (CA INDEX NAME)

RN 853888-54-7 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-(6-chloro-2-pyrazinyl)- (CA INDEX NAME)

GΙ

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB Title compds. I |A = (un)substituted-aryl, -heteroaryl; W, X, Y and Z = (un)substituted carbon, or one of W, X, Y and Z is nitrogen and the rest (un)substituted carbon, Q = bond, CH2, alkyl; Rl = H, alkyl, cycloalkyl, etc.; R2 = H, (un)substituted-alkyl, -alkenyl, etc.; R3 = 0.2 substituents selected from H, alkyl, NRSR6; R4 independently = H, halo, alkyl, etc.; R5 and R6 independently = H, alkyl] and their pharmaceutically acceptable salts, are prepared and disclosed as kinase inhibitors. Thus, e.g., II was prepared by coupling of (6-chloro-pyrazin-2yl)-(1-benzyl)-amine with benzimidazole. The activity of I was evaluated and it was revealed that selected compds. of the invention displayed an inhibitors of kinases should prove useful in the treatment of diseases such as, but not limited to, rheumatic, viral and cardiovascular diseases. Pharmaceutical compns. comprising I are disclosed.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:41279 CAPLUS

DOCUMENT NUMBER: 140:94066

TITLE: Preparation of 2,6-disubstituted pyrazines that

inhibit/modulate cyclin dependent kinases

INVENTOR(S): Woolford, Alison Jo-Anne; Berdini, Valerio; Oreilly,

Marc; Padova, Alessandro; Saxty, Gordon; Wyatt, Paul

PATENT ASSIGNEE(S): Astex Technology Limited, UK

SOURCE: PCT Int. Appl., 63 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

		PATENT NO.						DATE				ICAT	DATE						
	WO	WO 2004004730				A2		20040115 20040429									20030704		
	WO	WO 2004004730			A3														
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			FI,	FR,	GB,	GR,	HU,	IE,	IT,	LU,	MC,	NL,	PT,	RO,	SE,	SI,	SK,	TR,	
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AU 2003242860					A1		2004	0123		AU 2	003-	2428		20030704					
PRIORITY APPLN. INFO.:				. :						GB 2002-15775					A 20020706				
											WO 2	003-	GB29	0.5	1	W 2	0030	704	
OTHER SOURCE(S).						MARI	PAT	140 •	9406	6									

OTHER SOURCE(S): MARPAT 140:94066

T 380639-51-0P, 1-(6-Chloropyrazin-2-y1)-1H-benzimidazole 642459-08-3P, 1-(6-Chloropyrazin-2-y1)-1,3-dihydrobenzimidazol-2-

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,6-disubstituted pyrazines that inhibit/modulate cyclin dependent kinases)

RN 380639-51-0 CAPLUS

CN 1H-Benzimidazole, 1-(6-chloro-2-pyrazinyl)- (CA INDEX NAME)

RN 642459-08-3 CAPLUS

N 2H-Benzimidazol-2-one, 1-(6-chloro-2-pyrazinyl)-1,3-dihydro- (CA INDEX

NAME)

GI

AB Title compds. I [Rl = H, cycloalkyl, cycloalkenyl, phenyl-alkyl, etc.; R2 = (hetero)aryl, cycloalkyl, cycloalkenyl, etc.; R3 = halo, CN, N-linked monocyclic N-containing heterocycle, etc.] are prepared For instance, 2,6-dichloropyrazine is reacted with cyclopentylamine (THF, BtSN, 50°, 1 day) to give 2-chloro-6-(cyclopentylamino)pyrazine (III). II has IC50 = 52 µM for CDK2 kinase. I are useful in the prophylaxis or treatment of a diseases mediated by a cyclin dependent kinase.

REFERENCE COUNT: 10 THESE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD, ALL CITATIONS AVAILABLE IN THE RE FORMAT